INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\$$

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2000:575148 CAPLUS

DOCUMENT NUMBER: 134:36671

TITLE: Influence of the aliphatic spacer length on the 5-HT1A

receptor activity of new arylpiperazines with an

indazole system

AUTHOR(S): Paluchowska, Maria H.; Duszynska, Beata; Klodzinska,...

Aleksandra; Tatarczynska, Ewa

CORPORATE SOURCE: Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE: Polish Journal of Pharmacology (2000), 52(3), 209-216

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER: Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE: Journal LANGUAGE: English

Novel arylpiperazines, contg. a terminal 1- or 2-indazolyl fragment and a di- or tetramethylene aliph. spacer, were synthesized and their 5-HT1A and 5-HT2A receptor affinities were detd. All those compds. showed a potent affinity for 5-HT1A receptors (Ki = 5-16 nM) and were evaluated for anatomic production intrinsic activity at those receptors. To det. a 5-HT1A agonistic effectionsic activity of the investigated compds., their ability to induce a lower lip and the immediane retraction in rats and a behavioral syndrome (flat body posture and retresetion in est forepaw treading) in reserpinized rats were tested, whereas their 5-HT1A antagonistic activity was assessed via inhibition of those symptoms with region 1 to 2 to 100 to produced by 8-hydroxy-2-(di-n-propylamino)tetralin hydrobromide priminer of a se (8-OH-DPAT). The effect of spacer length on the 5-HT1A activity of the DPAT). The tested compds. was discussed in comparison with that of the 3-methylene analogs described earlier. Both dimethylene derivs, were characterized agent transmissions. weak postsynaptic 5-HT1A receptor antagonists. Compds. 1-indazolyl analog and 2-indazolyl analog, with a tetramethylene aliph. chain were classified as a postsynaptic 5-HT1A antagonist and a partial 5-HT1A agonist, respand partial statements of partial statements of the partial statement of the Furthermore, the latter showed a moderate anxiolytic-like effect (conflightermore, the drinking Vogel's test in rats) and a weak antidepressant-like activity. This is the standard very term of the control of the c (forced swimming Porsolt's test in rats). (forced swimming IT 313053-44-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological BAC (Biological Study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (1) (Uses)

(arylpiperazines, new 5-HT1A-receptor ligands)

RN 313053-44-0 - CAPLUS

H-Indazole, 1-[4-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-, CN 1H-Thdasole, 1dihydrochloride (9CI) (CA INDEX NAME) dihydrochloride

●2 HC1

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents.

40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE: Journal LANGUAGE: English

An ew set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A selectivity. The new 5-HT1A receptor ligands were investigated in vivo to det. their 5-HT1A agonistic or antagonistic properties. Compds. with terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification for their 5-HT1A functional activity.

IT 184535-35-1

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

HCl

REFERENCE COUNT:

19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 8 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents.

40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal English

LANGUAGE:

A new set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory

affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A The new 5-HT1A receptor ligands were investigated in vivo to selectivity. det. their 5-HT1A agonistic or antagonistic properties. Compds. with terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification for their 5-HT1A functional activity.

IT 184535-35-1

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) INDEX NAME)

esem competition contra

the 3 arythmiasole on the (pin increase of although and did n on structure. An inverse depo compds. was obsd: ໂດຍ ເມືອ ຮຸດກ

09/288,556

REFERENCE COUNT:

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS 24 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:193935 CAPLUS

DOCUMENT NUMBER:

130:237561

TITLE:

Indole and indazole derivatives, process for their preparation and the pharmaceutical compositions

containing them

INVENTOR(S):

Lavielle, Gilbert; Muller, Olivier;

Vayssettes-Courchay, Christine; Descombes,

Jean-Jacques; Verbeuren, Tony

PATENT ASSIGNEE(S):

Adir et Compagnie, Fr. Eur. Pat. Appl., 28 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND DATE | 4. • | |
|----------------------|-----------------|--|--|
| | | | |
| | | EP 1998-402154 19980901 | |
| EP 902027 | B1 20010725 | The second section of the second seco | |
| R: AT, BE, | CH, DE, DK, ES, | FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | .1 1000 |
| IE, SI, | LT, LV, FI, RO | | |
| FR 2767827 | A1 19990305 | FR 1997-10939 ·····19970903 ··· ··- | المراقبين المنتاب |
| BR 9803318 | A 20000208 | BR 1998-3318 19980901 | |
| AT 203531 | E 20010815 | AT 1998-402154 19980901 | |
| ES 2162404 | T3 20011216 | ES 1998-402154 19980901 | |
| NO 9804033 | A 19990304 | ES 1998-402154 19980901 NO 1998-4033 19980902 | |
| CN 1218052 | A 19990602 | CN 1998-124581 19980902 | |
| CN 1087741 | B 20020717 | | T o an industrial actions : |
| NZ 331683 | A 20000128 | NZ 1998-331683 19980902 | 33. aga 1.15.y |
| US 6020336 | A 20000201 | | |
| CA 2246485 | AA 19990303 | | Pharmazié |
| ZA 9808072 | A 19990309 | | |
| AU 9883068 | A1 19990318 | | |
| AU 736602 | B2 20010802 | | |
| JP 11130773 | A2 19990518 | | |
| US 6046205 | A 20000404 | | The second secon |
| HK 1019738 | A1 20021101 | НК 1999-104871 19991028 | |
| PRIORITY APPLN. INFO | | FR 1997-10939 A 1997.0903 structure | |
| INIONIII AFFEN. INFO | • • | US 1998-146009 A3 19980902 | enar maky saki |
| OTHER SOURCE(S): | MARPAT 130: | | at sajay ar com |

$$N = N (CH_2) n$$

$$R^1 I$$

group at the 5 position of mel halogens. A Cl2 attenut The c l affinity. Raplacement of the The Thenylpipidesinglimby: ... Land of the artification of 1. Lo serolonia yddephors 2 Comp.

The title compds. In [n = 0, 1; A = bond, alkylene, alkenylene; Ymay Nie QR2 mising ligand where R2 = H, alkyl; R1 = H, alkyl; G1 = pyrrolidinyl) piperidyl optionally substituted] were prepd. E.g., 1-{3-[4-(5-methox4pyrimidin-1yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

IT 221249-30-5P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

RN 221249-30-5 CAPLUS

CN 1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-(CA INDEX NAME) 1,2,4-triazol-4-yl)- (9CI)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1999:148062 CAPLUS

DOCUMENT NUMBER:

130:276243

TITLE:

Synthesis of 3-aryl-1-[(4-phenyl-1-

piperazinyl)butyl]indazole derivatives and their

affinity to 5-HTla serotonin and dopamine D1 receptors Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci. Ukraine, Odessa, 270086, Ukraine

CORPORATE SOURCE:

Pharmazie (1999), 54(2), 99-101

CODEN: PHARAT; ISSN: 0031-7144

• • • PUBLISHER:

SOURCE #

AUTHOR (S):

Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE:

Journal

English

LANGUAGE: Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A serotonin and D1 dopamine receptors was investigated by radioligand analige and the Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole mol. wasungsituents obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to..... even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine) butyl substituent caused and a substitution caused and a subst increase of affinity and did not change the trends of affinity dependence of affi on structure. An inverse dependence on the structure of the studied on mirroritare. compds. was obsd. for the serotonin 5-HT1A receptors. Compds. contg. and contg. and contg.

group at the 5-position of mol. were more active than compds. contg. group at the 5 , halogens. A Cl2 atom at the ortho-position of the Ph ring decreased halogens. A Cl2 affinity. Replacement of the H2 atom at the 1st position of the mol. oncimity. Repl the (phenylpiperazine) butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range. Generally, increased their affinity to dopamine receptors and reduced their affinity

to serotonin receptors: Compds. contg. a Br2 atom in the 3-arylindazole serotonin resees moiety may be promising ligands for D1 receptors. molety may be pr

163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P

3.63434.05-75 (6.) 103434-08-0P

" HOURCE:

Thomas A Chillen .

DOCUMENT TYPE:

yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

IT 221249-30-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

RN 221249-30-5 CAPLUS

CN 1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-1,2,4-triazol-4-yl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

5

ACCESSION NUMBER:

1999:148062 CAPLUS

DOCUMENT NUMBER: TITLE:

AUTHOR (S):

SOURCE:

CORPORATE SOURCE:

130:276243 Synthesis of 3-aryl-1-[(4-phenyl-1-

Synchesis of 3-alyl-1-[(4-pheny1-1-

piperazinyl)butyl]indazole derivatives and their affinity to 5-HTla serotonin and dopamine Dlareceptors

Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci. ...

Ukraine, Odessa, 270086, Ukraine

Pharmazie (1999), 54(2), 99-101

CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A serotonin and D1 dopamine receptors was investigated by radioligand anal. Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole moken was obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine)butyl substituént cáused an increase of affinity and did not change the trends of affinity dependence on structure. An inverse dependence on the structure of the studied compds. was obsd. for the serotonin 5-HT1A receptors Compds. contg. group at the 5-position of mol. were more active than compds. contg. halogens. A Cl2 atom at the ortho-position of the Ph ring decreased affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine)butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range: [1] Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs increased their affinity to:dopamine receptors and reduced their affinity. US to serotonin receptors. Compds. contg. a Br2 atom in the Brary kindazole romo-3-(2-chl. moiety may be promising ligands for D1 receptors. piperazinyl)butyl]-, monobydrou

IT 163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of 3-arylindazole derivs. and their affinity to 5-HTla serotonin and dopamine D1 receptors)

RN 163434-05-7 CAPLUS

CN 1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 163434-06-8 CAPLUS

CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN 163434-07-9 CAPLUS -

1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

CN 18-Indaxole, 5

HC1

RN 163434-08-0 CAPLUS

CN

1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

HCl

REFERENCE COUNT:

17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1996:701302 CAPLUS

DOCUMENT NUMBER:

126:47180

TITLE:

Structure-activity relationship studies of CNS agents. Part 31. Analogs of MP 3022 with a different number of nitrogen atoms in the heteroaromatic fragment. New

5-HT1A receptor ligands

AUTHOR (S):

Paluchowska, Maria H.; Deren-Wesolek, Anna; Mokrosz, Jerzy L.; Charakchieva-Minol, Sijka; Chojnacka-Wojcik,

Ewa

RN 400804-91-3 CAPLUS

5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(1,2-benzisothiazol-CN 3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-92-4 CAPLUS ПЩ 400804 42-4 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1- CNCN 111-Pyrazolo (4,3-. piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX raziny 1] prop NAME)

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN ACCESSION NUMBER: 1995:682542 CAPLUS

.....

DOCUMENT NUMBER:

123:83356

TITLE: INVENTOR (S): - Preparation of 3-(1-piperazinyl)-1,2-benzisothiazole derivatives with antipsychotic effect 12 washed Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, Yuukook (S):

Ichimaru, Yasuyuki; Imanishi, Taiichiro

PATENT ASSIGNEE(S):

Meiji Seika K. K., Japan

SOURCE:

PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

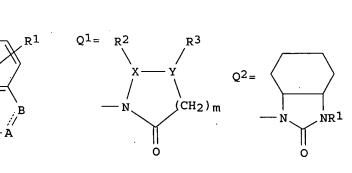
| | PAT | CENT I | NO. | | KI | ND | DATE | | | i | APPL: | ICATI | ON N | Ю. | DATE | | | ٠ |
|------|-----|--------|---------|------|--------|-------|------|------|-----|----|-------|-----------|----------|----|-------|-------|-----|----|
| | WO | 9418 | 197 | | A: | 1 | 1994 | 0818 | | ī | WO 1 | 994-J | P159 | | | 0203 | ;;· | |
| | | W: | CN, | JP, | KR, | US | | | | | | | | | | | | |
| | | RW: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB | , GR | , IE, | IT, | LU | , MC, | NL, | PT, | SE |
| | EP | 6355 | 06 | | A: | 1 | 1995 | 0125 | | 1 | EP 1 | 994-9 | 0584 | 1 | 1994 | 0203 | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB | , IT | , LI, | NL, | SE | | | | |
| | CN | 1103 | 534 | | Α | | 1995 | 0607 | | | CN 1: | 994 - 1 | 9004 | 2 | 1994 | 0203. | | |
| | CN | 1050 | 604 | | В | | 2000 | 0322 | | | | | • | | | | | - |
| | US | 5599 | 815 | | Α | | 1997 | 0204 | | 1 | US 1 | 994-3 | 1885 | 7 | 1994 | 1220 | | |
| PRIC | RIT | APP | LN. | INFO | . : | | | | | JP | 1993 | -1750 |)5 | A. | 1993 | 0204 | | |
| | | | | | | | | | | WO | 1994 | -JP1 | | Α | 1994 | 0104 | · 🔍 | |
| | | | | | | | | | | WO | 1994 | -JP15 | 59 | W | 1994 | 02:03 | ٠.: | |
| | | | | | | | | | | _ | | | | | | | : | |

Ι

OTHER SOURCE(S):

MARPAT 123:83356

$$N = N$$
 $N (CH2)nW$



AB Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = H, halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2, cyano; R2, R3 = H, halo, lower (halo)alkyl or alkoxy, NH2, cyano, provided that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3-5)] and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60.degree. for 30 min with stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at 60.degree. for 4 h to give 64% 1-(4-bromobutyl)-2(1H)-quinolinone (II). II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were suspended in DMF and stirred at room temp. for 12 h to give 80% title

CN

compd. I (n = 4, W = 2-oxo-1,2-dihydro-1-quinolinyl). II (n = 4, W = 9-carbazolyl) and II (n = 3, W = 2-oxo-1,2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.).

IT 165109-38-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [N-(heterocyclylalkyl)piperazinyl]benzisothiazole derivs. as antipsychotics)

RN 165109-38-6 CAPLUS

1,2-Benzisothiazole, 3-[4-[4-(3-chloro-1H-indazol-1-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:34:53 ON 10 DEC 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8 DICTIONARY FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading 928122.str

L1 STRUCTURE UPLOADED

=> Uploading 928122a.str

L2 STRUCTURE UPLOADED

=> Uploading 928122b.str

L3 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

$$\begin{bmatrix} G3 \\ G3 \end{bmatrix} \begin{bmatrix} G2 \\ 0-1 \end{bmatrix} \begin{bmatrix} CH \\ 3-6 \end{bmatrix} \begin{bmatrix} G1 \\ G1 \end{bmatrix} \begin{bmatrix} G1 \\ G1 \end{bmatrix} \end{bmatrix} \begin{bmatrix} G1 \\ G1 \end{bmatrix}$$

G1 C, O, S, N

G2 C,S

G3 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d l2 L2 HAS NO ANSWERS L2 STR

$$\begin{bmatrix} \mathbf{G1} \\ \mathbf{G1} \end{bmatrix} \begin{bmatrix} \mathbf{G1} \\$$

G1 C, O, S, N

G2 C,S

G3 C,N

G4 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> d 13

L3 HAS NO ANSWERS

STR

L3

$$\begin{bmatrix} G1 \\ G1 \end{bmatrix} \begin{bmatrix} G1 \\ G1 \end{bmatrix}$$

G1 C, O, S, N

G2 C,S

G3 C,N

G4 O, S, N

G5 0,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:36:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 357 TO ITERATE

100.0% PROCESSED 357 ITERATIONS 10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 6007 TO 8273

PROJECTED ANSWERS: 11 TO 389

L4 10 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 14:36:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 6868 TO ITERATE

100.0% PROCESSED 6868 ITERATIONS 247 ANSWERS

SEARCH TIME: 00.00.01

L5 247 SEA SSS FUL L1

=> s 12

SAMPLE SEARCH INITIATED 14:37:00 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L2

=> s 12 sss full

FULL SEARCH INITIATED 14:37:06 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 36 TO ITERATE

100.0% PROCESSED 36 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L7 3 SEA SSS FUL L2

=> s 13

SAMPLE SEARCH INITIATED 14:37:11 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 1 TO 80

L8 1 SEA SSS SAM L3

=> s 13 sss full

FULL SEARCH INITIATED 14:37:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 24 TO ITERATE

100.0% PROCESSED 24 ITERATIONS 9 ANSWERS

SEARCH TIME: 00.00.01

L9 9 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 444.85 445.06

FILE 'CAPLUS' ENTERED AT 14:37:26 ON 10 DEC 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24 FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

•x HCl

=> s 17

L11

4 L7

=> d l11 1-4 ibib abs hitstr

L11 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

DOCUMENT NUMBER:

138:304307

TITLE:

Preparation of piperazinylpropylpyrazolopyridines for

treatment of allergy

2003:300610 CAPLUS

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT 'INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|----------------|----------|-----------------|----------|
| | - - | | | |
| US 2003073672 | A1 | 20030417 | US 2001-947041 | 20010905 |
| PRIORITY APPLN. INFO. | : | | US 2001-947041 | 20010905 |

OTHER SOURCE(S):

MARPAT 138:304307

Ι

GI

RN CN

AB Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2, for treatment of allergy is claimed. Thus, 1-[3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M. IT

Ι

400802-64-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) 400802-64-4 CAPLUS

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:282117 CAPLUS

DOCUMENT NUMBER: 138:304277

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 928,122.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. D | ATE |
|-----------------------|--------------|-----------------|---------------------|---------|
| | - | -, - | | |
| US 2003069240 | A1 | 20030410 | US 2002-75673 2 | 0020213 |
| US 2002040020 | A1 | 20020404 | US 2001-928122 2 | 0010810 |
| PRIORITY APPLN. INFO. | : | | US 2001-928122 A2 2 | 0010810 |
| | | | US 2000-225138P P 2 | 0000814 |

OTHER SOURCE(S):

MARPAT 138:304277

GI ·

Me

ΙΙ

AB Title compds. I [wherein Ar = (un) substituted mono- or bicyclic (hetero) aryl; G = (un) substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is) oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo) alkyl, alkenyl, CN, or (un) substituted amino; or R1R2 = (un) substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd.

as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl, followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IT 400802-64-4P, 1-[1-[3-[4-(6-Chloro-benzothiazol-2-yl)piperazin-1yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-64-4 CAPLUS

(Uses)

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:184899 CAPLUS

DOCUMENT NUMBER:

136:247576

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 125 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
20020314
                                           WO 2001-US27479 20010905
     WO 2002020012
                       Α2
     WO 2002020012
                       А3
                            20020613
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                           US 2001-928122
     US 2002040020
                            20020404
                                                             20010810
                       A1
                       Α5
                                           AU 2001-88730
     AU 2001088730
                            20020322
                                                             20010905
     EP 1315491
                       A2
                            20030604
                                           EP 2001-968486
                                                             20010905
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                        US 2000-230407P
                                                             20000906
                                                          Ρ
                                         US 2001-928122
                                                          Α
                                                             20010810
                                        US 2000-225138P
                                                          P
                                                             20000814
                                         WO 2001-US27479
                                                         W
                                                             20010905
OTHER SOURCE(S):
                         MARPAT 136:247576
GT
```

$$R^2$$
 R^1
 R^4
 R^4
 R^5
 R^6
 R^6

AB Title compds. I [wherein Ar = (un) substituted mono- or bicyclic (hetero) aryl; G = (un) substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is) oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo) alkyl, alkenyl, CN, or (un) substituted amino; or R1R2 = (un) substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition,

CN

including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl, followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IT 400802-64-4P, 1-[1-[3-[4-(6-Chloro-benzothiazol-2-yl)piperazin-1yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-64-4 CAPLUS

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

L11 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:142707 CAPLUS

DOCUMENT NUMBER:

136:200181

TITLE:

Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their

pharmaceutical compositions and use as

immunosuppressants

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.;

Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

pugii.

FAMILY ACC. NUM. COUNT:

FAMILI ACC. NOM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

```
WO 2002014314
                      A2
                            20020221
                                           WO 2001-US25289 20010810
                            20020606
     WO 2002014314
                      A3
            AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
             VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          AU 2001-81255
     AU 2001081255
                     A5
                          20020225
                                                          20010810
     US 2002040020
                      A1
                            20020404
                                          US 2001-928122
                                                            20010810
     EP 1309591
                                          EP 2001-959731
                      A2
                            20030514
                                                            20010810
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                       US 2000-225138P P 20000814
                                        US 2001-928122
                                                        A 20010810
                                        WO 2001-US25289 W 20010810
OTHER SOURCE(S):
                       MARPAT 136:200181
GΙ
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
```

Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and AB methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, (un) substituted NH2, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un) substituted NH2; or R1R2 = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R5R6 = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = (un) substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar = (un) substituted mono- or bicyclic (hetero) aryl; W = SO2, CO, (un) substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring; including stereoisomers and pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 250 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 24 compds. For instance, 4-(2-chloro-6methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester (prepd. in 4 steps) was deprotected with TFA and coupled with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was another of three specifically preferred compds. IT 400802-64-4P, 1-[1-[3-[4-(6-Chlorobenzothiazol-2-yl)piperazin-1yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-11-0P, 1-[1-[2-Hydroxy-3-[4-(6-nitrobenzothiazol-2-yl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400805-12-1P, 1-[1-[2-Hydroxy-3-[4-(6methoxybenzothiazol-2-yl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors)

RN 400802-64-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(6-chloro-2-benzothiazolyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-11-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(6-nitro-2-benzothiazolyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-12-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(6-methoxy-2-benzothiazolyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

=> s 19

L12

5 L9

=> d l12 1-5 ibib abs hitstr

L12 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2003:300610 CAPLUS

DOCUMENT NUMBER:

138:304307

TITLE:

Preparation of piperazinylpropylpyrazolopyridines for

treatment of allergy

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIŅD | DATE | APPLICATION NO. | DATE |
|-----------------------|------|-----------------|-----------------|----------|
| | | | | |
| US 2003073672 | A1 | 20030417 | US 2001-947041 | 20010905 |
| PRIORITY APPLN. INFO. | : | US | 2001-947041 | 20010905 |
| OTHER SOURCE(S): | MA | RPAT 138:304307 | | |

GI

Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, AB

Ι

RN

CN

cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2], for treatment of allergy is claimed. Thus, 1-{3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M.

IT 400802-63-3P 400802-65-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) 400802-63-3 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

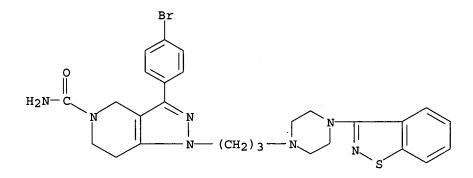
IT 400802-74-6

> RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy)

400802-74-6 CAPLUS RN

5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-CN yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

2003:282117 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 138:304277

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-TITLE:

c]pyridines as cathepsin S inhibitors for treating

allergies

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, INVENTOR(S):

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): USA

U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 928,122.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|--------------------|----------|
| | | | | |
| US 2003069240 | A1 | 20030410 | US 2002-75673 | 20020213 |
| US 2002040020 | A1 | 20020404 | US 2001-928122 | 20010810 |
| PRIORITY APPLN. INFO. | : | | US 2001-928122 A2 | 20010810 |
| | | | IIS 2000-225138D D | 20000814 |

OTHER SOURCE(S): MARPAT 138:304277

GI

(Uses)

RN

CN

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic AB (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

Ι

ΙI

IT 400802-63-3P, 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-65-5P,
1-[1-[3-(4-Benzo[d]isoxazol-3-yl-piperazin-1-yl)-2-hydroxy-propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) 400802-63-3 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-74-6 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

L12 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:184899 CAPLUS

DOCUMENT NUMBER:

136:247576

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

PCT Int. Appl., 125 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

SOURCE:

GI

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. DATE |
|-----------------------------------|---|---|
| WO 2002020012 | | WO 2001-US27479 20010905 |
| CO, CR, GM, HR, LS, LT, | CU, CZ, DE, DK, HU, ID, IL, IN, LU, LV, MA, MD, | AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, CT, CK, CL, TT, TT, TT, TT, TT, TT, TT, TT, TT, T |
| UZ, VN, RW: GH, GM, DE, DK, | YU, ZA, ZW, AM, KE, LS, MW, MZ, ES, FI, FR, GB, | SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, AZ, BY, KG, KZ, MD, RU, TJ, TM SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, |
| US 2002040020 AU 2001088730 | A1 20020404 A5 20020322 | GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2001-928122 20010810 AU 2001-88730 20010905 EP 2001-968486 20010905 |
| | LT, LV, FI, RO, | FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, MK, CY, AL, TR US 2000-230407P P 20000906 US 2001-928122 A 20010810 US 2000-225138P P 20000814 WO 2001-US27479 W 20010905 |
| OTHER SOURCE(S): | MARPAT 136:2 | |

II

Ι

RN

CN

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic AB (hetero) aryl; G = (un) substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

IT 400802-63-3P, 1-[3-[4-(1,1-Dioxo-1H-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-65-5P,
1-[1-[3-(4-Benzo[d]isoxazol-3-yl-piperazin-1-yl)-2-hydroxy-propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-74-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) 400802-63-3 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-74-6 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

L12 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:142707 CAPLUS

DOCUMENT NUMBER:

136:200181

TITLE:

Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their

pharmaceutical compositions and use as

immunosuppressants

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.;

Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 161 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

WO 2002014314 A2 20020221 WO 2001-US25289 20010810

WO 2002014314 A3 20020606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

```
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
            RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ,
            VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
            BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         AU 2001-81255
                                                          20010810
     AU 2001081255
                     A5
                           20020225
     US 2002040020
                           20020404
                                          US 2001-928122
                                                           20010810
                      A1
     EP 1309591
                                          EP 2001-959731
                      A2
                           20030514
                                                           20010810
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                       US 2000-225138P P
                                                           20000814
                                       US 2001-928122 A 20010810
                                       WO 2001-US25289 W 20010810
                       MARPAT 136:200181
OTHER SOURCE(S):
```

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and AB methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, (un) substituted NH2, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un) substituted NH2; or R1R2 = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R5R6 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = 1(un) substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); X, Y, Z = N, (un)substituted CH; Ar = (un) substituted mono- or bicyclic (hetero) aryl; W = SO2, CO, (un) substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl, benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl, 1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring; including stereoisomers and pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 250 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 24 compds. For instance, 4-(2-chloro-6methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester (prepd. in 4 steps) was deprotected with TFA and coupled with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was another of three specifically preferred compds. IT400802-63-3P, 1-[3-[4-[1,1-Dioxo-1.lambda.6-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-65-5P, 1-[1-[3-(4-Benzo[d]isoxazol-3-ylpiperazin-1-yl)-2-hydroxypropyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-74-6P, 1-[3-(4-Benzo[d]isothiazol-3ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid amide 400804-88-8P, 3-(4-Bromophenyl)-1-[3-[4-[1,1-dioxo-1.lambda.6-benzo[d]isothiazol-3yl)piperazin-1-yl]propyl]-5-methanesulfonyl-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridine 400804-89-9P, 1-[1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-90-2P,

1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-5methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-91-3P, 1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1yl)propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400804-92-4P, 1-[3-(4-Benzo[d]isothiazol-3-ylpiperazin-1-yl)propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) 400802-63-3 CAPLUS RN1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-CN 1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

RN 400802-65-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(1,2-benzisoxazol-3-yl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-74-6 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-88-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(4-bromophenyl)-1-[3-[4-(1,1-dioxido-1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-89-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-90-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-91-3 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-92-4 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

L12 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1995:682542 CAPLUS

DOCUMENT NUMBER: 123:83356

TITLE: Preparation of 3-(1-piperazinyl)-1,2-benzisothiazole

derivatives with antipsychotic effect

INVENTOR(S): Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, Yuuko;

Ichimaru, Yasuyuki; Imanishi, Taiichiro

PATENT ASSIGNEE(S): Meiji Seika K. K., Japan

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

. i

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE
WO 9418197 A1 19940818 WO 1994-JP159 19940203

W: CN, JP, KR, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

Ι

EP 635506 A1 19950125 EP 1994-905841 19940203

R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE

CN 1103534 A 19950607 CN 1994-190042 19940203

CN 1050604 B 20000322

US 5599815 A 19970204 US 1994-318857 19941220

PRIORITY APPLN. INFO.: JP 1993-17505 A 19930204 WO 1994-JP1 A 19940104

WO 1994-JP159 W 19940203

OTHER SOURCE(S): MARPAT 123:83356

GΙ

AB Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = H, halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2, cyano; R2, R3 = H, halo, lower (halo)alkyl or alkoxy, NH2, cyano, provided that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3-5)] and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60.degree. for 30 min with stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at 60.degree. for 4 h to give 64% 1-(4-bromobutyl)-2(1H)-quinolinone (II). II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were suspended in DMF and stirred at room temp. for 12 h to give 80% title

IT

CN

compd. I (n = 4, W = 2-oxo-1,2-dihydro-1-quinolinyl). II (n = 4, W = 9-carbazolyl) and II (n = 3, W = 2-oxo-1,2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.). 165109-38-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of [N-(heterocyclylalkyl)piperazinyl]benzisothiazole derivs. as antipsychotics)

RN 165109-38-6 CAPLUS

1,2-Benzisothiazole, 3-[4-[4-(3-chloro-1H-indazol-1-yl)butyl]-1-piperazinyl]-, monohydrochloride (9CI) (CA INDEX NAME)

=> s 15

L10 14 L5

=> d l10 1-14 ibib abs hitstr

L10 ANSWER 1 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:300610 CAPLUS

DOCUMENT NUMBER: 138:304307

TITLE: Preparation of piperazinylpropylpyrazolopyridines for

treatment of allergy

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thumond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. APPLICATION NO. KIND DATE DATE -----

US 2003073672 Α1 20030417 US 2001-947041 20010905

PRIORITY APPLN. INFO.: US 2001-947041 20010905

Ι

OTHER SOURCE(S): MARPAT 138:304307

GT

ΔR Use of title compds. [I; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, cyano, NO2, amino, acyl, etc.; R2 = H, halo, alkoxy, alkyl, alkenyl, haloalkyl, cyano, amino; R1R2, R5R6 = atoms to form a (substituted) (unsatd.) 5-7 membered (hetero)cycle; R3, R4 = H, alkyl; R5, R6 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, 4-7 membered carbocyclyl, heterocyclyl; Ar = (substituted) mono- or bicyclic aryl, heteroaryl; W = SO2, CO, bond, CHR20; R20 = H, alkyl, Ph, PhCH2, naphthyl, heterocyclyl; X = N, R12C; Y = N, R13C; Z = N, R14C; R12-R14 = H, halo, alkoxy, alkyl, alkenyl, cyano, NO2, amino, acyl, haloalkyl, heterocyclyl, heterocyclylalkyl, sulfonylamino, etc.; WR1 = atoms to form rings; G = (substituted) alkylene; n = 1,2, for treatment of allergy is claimed. Thus, 1-[3-(4-chlorophenyl)-1-(3-chloropropyl)-1,4,6,7tetrahydropyrazolo[4.3-c]pyridin-5-yl]ethanone (prepn. given), 1-(2-fluorophenyl)piperazine, K2CO3, and Bu4NI were stirred in MeCN for 7 days to give 41% 1-[3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone. The latter inhibited human cathepsin S with IC50 = 0.89 .mu.M. IT

400802-47-3P 400802-70-2P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

RN 400802-70-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ΙT 400802-42-8P 400802-43-9P 400802-44-0P 400802-45-1P 400802-46-2P 400802-49-5P 400802-50-8P 400802-51-9P 400802-52-0P 400802-53-1P 400802-54-2P 400802-55-3P 400802-56-4P 400802-57-5P 400802-58-6P 400802-59-7P 400802-60-0P 400802-61-1P 400802-62-2P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) RN 400802-42-8 CAPLUS CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400802-43-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400802-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$

RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

IT 400802-66-6 400802-67-7 400802-68-8 400802-69-9 400802-71-3 400802-72-4 400802-73-5 400802-75-7 400802-76-8 400802-77-9 400802-78-0 400802-79-1 400802-80-4 400802-81-5 400802-82-6 400802-83-7 400802-84-8 400802-85-9 400802-86-0 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) RN400802-66-6 CAPLUS CN1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl] - (9CI) (CA INDEX NAME)

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CI
INDEX NAME)

RN 400802-68-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-69-9 CAPLUS

CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-84-8 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

IT 400802-96-2P 400802-99-5P 400803-03-4P

400803-04-5P 400803-06-7P 400803-07-8P

400803-08-9P 400803-09-0P 400803-10-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of piperazinylpropylpyrazolopyridines for treatment of allergy) 400802-96-2 CAPLUS

RN 400802-96-2 CAPLUS
CN Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 400802-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-03-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{NC} \\ \text{NC} \\$$

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me-S N CH₂) 3 - N
$$C_{1}$$

RN 400803-07-8 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:282117 CAPLUS

DOCUMENT NUMBER: 138:304277

TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-

c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S): Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.;

Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 47 pp., Cont.-in-part of U.S.

Ser. No. 928,122.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-------------------|----------|
| | | | | |
| US 2003069240 | A1 | 20030410 | US 2002-75673 | 20020213 |
| US 2002040020 | A1 | 20020404 | US 2001-928122 | 20010810 |
| PRIORITY APPLN. INFO. | : | | US 2001-928122 A2 | 20010810 |

US 2000-225138P P
OTHER SOURCE(S): MARPAT 138:304277

GI .

20000814

II

Ι

AB Title compds. I [wherein Ar = (un) substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of

TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

' IT 400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-47-3P, 2-(4-[3-[5-Acetyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl)benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1yl]propyl]piperazin-1-yl)phenylamine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(Preparation); RACT (Reactant or reagent); USES (Uses)
(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents
starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-43-9 CAPLUS

CN

1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA
INDEX NAME)

RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-70-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2-methoxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-

```
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-49-5P,
1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)propyl]-
3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-
2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid
1-[5-carbamoyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
ylmethyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester
400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400802-54-2P, (R)-1-(3-(4-Bromophenyl)-1-[3-[4-(5-
chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-55-3P,
2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl]piperazin-1-
yl)benzonitrile 400802-56-4P, (3-(4-Chloro-3-methylphenyl)-1-[3-
[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl)oxoacetic acid methyl ester
400802-57-5P, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl
)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-
pyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-(4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]urea 400802-59-7P,
1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-
trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-(4-[2-hydroxy-3-
[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-
Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-62-2P, 2-(4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl)-3-[methanesulfonylamino]benzoic acid
methyl ester 400802-66-6P, 1-[4-(2-Amino-6-
chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-(4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea
400802-68-8P, 1-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-69-9P,
3-Amino-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)benzoic acid methyl ester 400802-71-3P, 1-[2-(4-[3-[3-(4-
Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxy-propyl]piperazin-1-yl)-3-chlorophenyl]-3-methylurea
400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c] pyridine-5-carboxylic acid amide
400802-73-5P, [3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]carbamic acid methyl ester
400802-75-7P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl)-3-nitrobenzoic acid methyl ester 400802-76-8P,
1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yllpropan-2-ol 400802-77-9P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-
5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)benzonitrile 400802-78-0P,
```

RN CN

```
3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-79-1P, 2-(4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl]piperazin-1-
yl)benzonitrile 400802-80-4P, 2-(4-[3-[3-(4-Chloro-3-
methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-81-5P,
1-(3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-
2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone
400802-82-6P, 1-[3-[4-(3,5-Dichloro-pyridin-4-yl)piperazin-1-
yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P,
2-(4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile
400802-84-8P, N-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-85-9P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
404028-96-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (antiallergy agent; prepn. of pyrazolopyridines antiallergy agents
   starting from piperidones, benzoyl chlorides and hydrazine)
400802-42-8 CAPLUS
1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2-
fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX
NAME)
```

RN 400802-44-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 400802-68-8 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 400802-69-9 CAPLUS

CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-84-8 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 404028-96-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 404028-95-1 CMF C27 H32 Cl F3 N6 O5 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 400802-96-2P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7 tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile
 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-o tolyl-piperazin-1-yl)propyl]-1,4.6,7-tetrahydropyrazolo[4,3-c]pyridin-5 yl]ethanone 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7 tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
 400803-04-5P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7 tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1 yl)benzonitrile 400803-06-7P, 1-[3-[4-(2-Chloro-6 nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-

CN

trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-tertbutoxycarbonyl sulfonic acid amide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of pyrazolopyridines antiallergy agents starting

from piperidones, benzoyl chlorides and hydrazine)

RN 400802-96-2 CAPLUS

> Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1Hpyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} I \\ N \\ N \\ CH_2 - CH - CH_2 - N \end{array}$$

RN 400802-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(CA INDEX NAME) (9CI)

RN 400803-03-4 CAPLUS

CN

5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400803-07-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 404028-94-0P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 404028-94-0 CAPLUS

5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-CN piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 3 OF 14

ACCESSION NUMBER: 2002:940422 CAPLUS

DOCUMENT NUMBER:

CORPORATE SOURCE:

138:304240

Synthesis, molecular and crystal structure, and TITLE: properties of 1-[4-(5-bromo-3-phenylindazol-1-

yl)butyl]-4-phenylpiperazine 1-oxide hydrochloride

Andronati, S. A.; Kolodeev, G. E.; Makan, S. Yu.; AUTHOR (S):

Simonov, Yu. A.; Chumakov, Yu. M.; Gdaniec, M. Fiz.-Khim. Inst. im. A. V. Bogatskogo, NAN Ukr.,

Ukraine

Fiziologichno Aktivni Rechovini (2002), (1), 4-9 SOURCE:

CODEN: FARICW

PUBLISHER: Natsional'na Farmatsevtichna Akademiya Ukraini

DOCUMENT TYPE: Journal LANGUAGE: Russian

CASREACT 138:304240 OTHER SOURCE(S):

GΙ

AB The title compd. (I) was prepd. by oxidn. of the piperazine deriv. with H2O2 in the presence of acetic acid in 1,4-dioxane. The mol. and crystal structure of I was studied by x-ray crystallog. and the CNDO/2 computation method. I is a complex obtained by proton transfer from HCl to the O of the N-oxide group. I showed no affinity for 5-HT1A receptors of the CNS.

IT 508169-76-4

RL: PRP (Properties)

(CNDO/2 calcn. of structure of)

RN 508169-76-4 CAPLUS

CN 1H-Indazole, 5-bromo-1-[4-(1-oxido-4-phenyl-1-piperazinyl)butyl]-3-phenyl-(9CI) (CA INDEX NAME)

IT 508169-75-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(N-oxidn. by hydrogen peroxide)

RN 508169-75-3 CAPLUS

CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Ph} & \\ & &$$

IT 508169-77-5P

RN 508169-77-5 CAPLUS

CN 1H-Indazole, 5-bromo-1-[4-(1-oxido-4-phenyl-1-piperazinyl)butyl]-3-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

L10 ANSWER 4 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2002:184899 CAPLUS

DOCUMENT NUMBER:

136:247576

TITLE:

Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-

c]pyridines as cathepsin S inhibitors for treating

allergies

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson,

Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Tays, Kevin L.; Thurmond,

Robin L.; Wei, Jianmei

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 125 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PAT | PATENT NO. | | | | | DATE | APPLICATION NO. | | | | | | DATE | | | | | |
|------------|----------------------|-----|-----|------------------|-------------|----------|-----------------|-----|-------------------------|----------------|------|-----|------|----------|----------|-----|-----|--|
| | | | | | | | | | | | | | | | | | | |
| | WO 2002020012 | | | | | | | | WO 2001-US27479 | | | | | 20010905 | | | | |
| WO | 2002020012 | | | A: | 3 | 20020613 | | | | | | | | | | | | |
| | W: | ΑE, | AG, | ΑL, | AM, | ΑT, | AU, | ΑZ, | BA | , BB, | ВG, | BR, | BY, | ΒZ, | CA, | CH, | CN, | |
| • | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ | , EC, | EE, | ES, | FI, | GB, | GD, | GE, | GH, | |
| | | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JΡ | , KE, | KG, | KP, | KR, | KZ, | LC, | LK, | LR, | |
| | | | | | | | | | | , MN, | | | | | | | | |
| | | | | | | | | | | , SL, | | | | | | | | |
| | | • | • | • | • | • | • | • | | , KG, | • | | • | - | • | , | , | |
| | ₽W· | • | • | • | | • | • | • | | , KC, , SZ, | • | • | • | • | | СН | CV | |
| | 1000 | | , | • | | • | • | • | | , 32, , IT, | • | • | • | • | | | • | |
| | | | | | | | | | | | | | | | | | BF, | |
| 110 | 2002 | - | | | | | | | | , GW, | | | | | - | 16 | | |
| | | | | | | | | | US 2001-928122 20010810 | | | | | | | | | |
| | | | | | A5 20020322 | | | | | | | | | | | | | |
| EP | | | | | A2 20030604 | | | | EP 2001-968486 | | | | | | 20010905 | | | |
| | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB | , GR, | ΙΤ, | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | ΙE, | SI, | LT, | LV, | FΙ, | RO, | MK, | CY | , AL, | TR | | | | | | | |
| PRIORITY | PRIORITY APPLN. INFO | | | | | | | | US : | 2000- | 2304 | 07P | P | 2000 | 906 | / | | |
| | | | | | | | | | US : | 2001- | 9281 | 22 | Α | 2001 | 0810 | V | | |
| | | | | | | | | | US : | 2000- | 2251 | 38P | Р | 2000 | 0814 | | | |
| | | | | | | | | | | 2001- | | | | | | | | |
| OMITTED 00 | | (0) | | WARRIE 126 04556 | | | | | | | | | | | | | | |

OTHER SOURCE(S): MARPAT 136:247576

GI

Title compds. I [wherein Ar = (un) substituted mono- or bicyclic AB (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = SO2, CO, (un) substituted C, or a bond; or W and R1 taken together with the 6 membered ring to which they are attached form benzimidazolyl, benzothiazolyl, benz(is)oxazolyl, etc.; X, Y, and Z = independently N or (un) substituted C; R1 = H, N3, halo, alkoxy, OH, alkyl, alkenyl, CN, NO2, acyl, or (un) substituted amino, carboxy, carbamoyl, or sulfamoyl; R2 = H, halo, alkoxy, (halo)alkyl, alkenyl, CN, or (un)substituted amino; or R1R2 = (un)substituted carbocyclic or heterocyclic ring; R3 and R4 = independently H or alkyl; R5 and R6 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R5R6 = (un) substituted carbocyclic or heterocyclic ring; n = 1-2; or pharmaceutically acceptable salts, amides, or esters thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl , followed by cycloaddn. with H2NNH2, gave 1-[3-(4-chlorophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with 1-bromo-3-chloropropane (83%) and addn. of 1-(2-fluorophenyl)piperazine afforded II (41%). The latter inhibited recombinant human cathepsin S with IC50 of 0.89 .mu.M.

Ι

II

IT 400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-47-3P, 2-(4-[3-[5-Acetyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl)benzonitrile 400802-50-8P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400802-70-2P, 3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1yl]propyl]piperazin-1-yl)phenylamine RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (antiallergy agent; prepn. of pyrazolopyridines antiallergy agents

starting from piperidones, benzoyl chlorides and hydrazine)

400802-43-9 CAPLUS

RN

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT 400802-42-8P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2methoxy-3-(4-o-tolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7tetrahydropyrazolo [4,3-c] pyridin-5-yl] ethanone 400802-49-5P, 1-[1-[2-(2-Piperazin-1-yl-ethylamino)-3-(4-o-tolyl-piperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid amide 400802-52-0P, Carbamic acid 1-[5-carbamoyl-3-(4-iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1ylmethyl]-2-[4-(2-cyanophenyl)piperazin-1-yl]ethyl ester **400802-53-1P**, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-otolyl-piperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400802-54-2P, (R)-1-(3-(4-Bromophenyl)-1-[3-[4-(5chloro-2-methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone 400802-55-3P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoro-propyl]piperazin-1yl)benzonitrile 400802-56-4P, (3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl)oxoacetic acid methyl ester **400802-57-5P**, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridine 400802-58-6P, 1-[3-Chloro-2-(4-[3-[5methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]urea 400802-59-7P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-

```
trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-(4-[2-hydroxy-3-
[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl)phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-
Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-62-2P, 2-(4-[2-Hydroxy-3-[5-
methanesulfony1-3-(4-trifluoromethylpheny1)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl)-3-[methanesulfonylamino]benzoic acid
methyl ester 400802-66-6P, 1-[4-(2-Amino-6-
chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-(4-[3-[5-
methanesulfony1-3-(4-trifluoromethylpheny1)-4,5,6,7-tetrahydropyrazolo[4,3-
c] pyridin-1-yl]propyl]piperazin-1-yl)phenyl]-3-methylurea
400802-68-8P, 1-[3-Chloro-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]-3-methylurea 400802-69-9P,
3-Amino-2-(4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl) benzoic acid methyl ester 400802-71-3P, 1-[2-(4-[3-[3-(4-
Bromophenyl) -5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxy-propyl]piperazin-1-yl)-3-chlorophenyl]-3-methylurea
400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c] pyridine-5-carboxylic acid amide
400802-73-5P, [3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]carbamic acid methyl ester
400802-75-7P, 2-(4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl)-3-nitrobenzoic acid methyl ester 400802-76-8P,
1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-77-9P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-
5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)benzonitrile 400802-78-0P,
3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-79-1P, 2-(4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxy-propyl]piperazin-1-
yl)benzonitrile 400802-80-4P, 2-(4-[3-[3-(4-Chloro-3-
methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl)benzonitrile 400802-81-5P,
1-(3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-
2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl)ethanone
400802-82-6P, 1-[3-[4-(3,5-Dichloro-pyridin-4-yl)piperazin-1-
yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P,
2-(4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile
400802-84-8P, N-[3-Chloro-2-(4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl)phenyl]methanesulfonamide 400802-85-9P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
404028-96-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
```

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiallergy agent; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 400802-42-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA
INDEX NAME)

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ H_2N-C & & & \\ N & & OH & \\ N & CH_2-CH-CH_2-N & \\ \end{array}$$

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me-
$$S$$
N
N
(CH₂) 3-N

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME).

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-68-8 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA
INDEX NAME)

RN 400802-69-9 CAPLUS
CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$ Me

RN 400802-82-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-84-8 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & & & & \\ \hline \\ Me-S-NH & & & \\ \hline \\ N & & & \\ \hline \\ N & & \\ \hline \\ C1 & & \\ \end{array}$$

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 404028-96-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 404028-95-1 CMF C27 H32 Cl F3 N6 O5 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

IT 400802-96-2P, 2-(4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl)benzonitrile 400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-otolyl-piperazin-1-yl)propyl]-1,4.6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester 400803-04-5P, 2-(4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl)benzonitrile 400803-06-7P, 1-[3-[4-(2-Chloro-6nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-tertbutoxycarbonyl sulfonic acid amide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine) RN 400802-96-2 CAPLUS CN Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1H-

pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400802-99-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 400803-03-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

t-BuO-C N OH NC N
$$CH_2-CH-CH_2-N$$

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me-S N CF3
$$\begin{array}{c} O_{2N} \\ N \\ N \end{array}$$

$$\begin{array}{c} O_{2N} \\ N \\ C1 \end{array}$$

RN 400803-07-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CAINDEX NAME)

IT 404028-94-0P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxy-propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of pyrazolopyridines antiallergy agents starting from piperidones, benzoyl chlorides and hydrazine)

RN 404028-94-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI)

(CA INDEX NAME)

L10 ANSWER 5 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

136:200181

ACCESSION NUMBER:

2002:142707 CAPLUS

DOCUMENT NUMBER: TITLE:

Substituted and/or fused pyrazoles, particularly piperazinylpropyl-substituted pyrazolopyridines,

useful as cathepsin S inhibitors, and their

pharmaceutical compositions and use as

immunosuppressants

INVENTOR(S):

Breitenbucher, J. Guy; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Tays, Kevin L.;

Wei, Jianmei

Patent

PATENT ASSIGNEE(S):

Ortho McNeil Pharmaceutical, Inc., USA

SOURCE:

PCT Int. Appl., 161 pp. CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
PATENT NO.
                                            KIND DATE
                                                                                       APPLICATION NO. DATE
                                                                                        _____
                                             ----
         WO 2002014314
                                                        20020221
                                                                                       WO 2001-US25289 20010810
                                              A2
         WO 2002014314
                                                        20020606
                                             A3
                 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
         AU 2001081255
                                              A5
                                                        20020225
                                                                                      AU 2001-81255
                                                                                                                          20010810
         US 2002040020
                                                        20020404
                                                                                       US 2001-928122
                                                                                                                          20010810
                                              Α1
                                                        20030514
                                                                                      EP 2001-959731
                                                                                                                          20010810
         EP 1309591
                                              A2
                        AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                                                                 US 2000-225138P
                                                                                                                 Р
                                                                                                                          20000814
                                                                                 US 2001-928122
                                                                                                                          20010810 V
                                                                                                                   Α
                                                                                 WO 2001-US25289 W
                                                                                                                        20010810
```

OTHER SOURCE(S):

MARPAT 136:200181

GI

```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
```

```
Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and
ΔR
     methods of using them to treat, for example, autoimmune diseases mediated
     by cathepsin S, are described [R1 = H, N3, halo, alkoxy, OH, alkyl,
     alkenyl, cyano, NO2, (un) substituted NH2, acyl, etc.; R2 = H, halo,
     alkoxy, alkyl, alkenyl, haloalkyl, cyano, or (un) substituted NH2; or R1R2
     = atoms to form (un) substituted (un) satd. (non) arom. 5- to 7-membered
     carbo- or heterocyclic ring; R3, R4 = H, alkyl; R5, R6 = H, alkyl,
     alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or
     heterocyclyl; or R5R6 = atoms to form (un) substituted (un) satd. (non) arom.
     5- to 7-membered carbo- or heterocyclic ring; n = 1 or 2; G = 1
     (un) substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo,
     oxo, aminoalkyl, etc.); X, Y, Z = N, (un) substituted CH; Ar =
     (un) substituted mono- or bicyclic (hetero) aryl; W = SO2, CO,
     (un) substituted CH2, bond; or WR1 = atoms to form a benzoxazol-2-yl,
     benzothiazol-2-yl, benzimidazol-2-yl, 1,2-benzisoxazol-3-yl,
     1,2-benzisothiazol-3-yl, or 1,1-dioxo-1,2-benzothiazol-3-yl ring;
     including stereoisomers and pharmaceutically acceptable salts, esters, and
     amides]. Claimed usages include treatment of lupus, rheumatoid arthritis,
     and particularly asthma, and inhibition of tissue transplant rejection.
     Approx. 250 individual compds. I were prepd. and/or claimed, with detailed
     prepns. given for 24 compds. For instance, 4-(2-chloro-6-
     methanesulfonylaminophenyl)piperazine-1-carboxylic acid tert-Bu ester
     (prepd. in 4 steps) was deprotected with TFA and coupled with the
     corresponding epoxide (prepd. in several steps) to give title compd. II, a
     preferred compd. In an assay for inhibition of recombinant human
     cathepsin S in vitro, II had an IC50 of 0.06 .mu.M. Compd. III was
     another of three specifically preferred compds.
     400802-43-9P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
IT
     tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
     yl]ethanone 400802-46-2P, 1-[1-[2-Hydroxy-3-(4-o-tolylpiperazin-
     1-yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
     c]pyridin-5-yl]ethanone 400802-47-3P, 2-[4-[3-[5-Acetyl-3-(4-
     trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
     hydroxypropyl]piperazin-1-yl]benzonitrile 400802-50-8P,
     1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-
     1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl
     ester 400802-70-2P, 3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-
     trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
     yl]propyl]piperazin-1-yl]phenylamine
     RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (drug candidate; prepn. of piperazinylpropyl-substituted
        pyrazolopyridines and analogs as cathepsin S inhibitors)
RN
     400802-43-9 CAPLUS
     1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-
CN
     tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI)
     INDEX NAME)
```

RN 400802-46-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-47-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400802-50-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400802-70-2 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

IT **400802-42-8P**, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2fluorophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-44-0P, 1-[3-(4-Chlorophenyl)-1-[2methoxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-45-1P, 1-[1-[2-Hydroxy-3-[4-(2hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-49-5P, 1-[1-[2-[[2-(Piperazin-1-yl)ethyl]amino]-3-(4-o-tolylpiperazin-1yl)propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400802-51-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide **400802-52-0P**, Carbamic acid 1-[[5-(carbamoy1)-3-(4-iodopheny1)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2cyanophenyl)piperazin-1-yl]ethyl ester 400802-53-1P, 1-[3-(3-Amino-4-chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone **400802-54-2P**, (R)-1-[3-(4-Bromophenyl)-1-[3-[4-(5-chloro-2methylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400802-55-3P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-fluoropropyl]piperazin-1yl]benzonitrile 400802-56-4P, [3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]oxoacetic acid methyl ester **400802-57-5P**, 5-Methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3c]pyridine 400802-58-6P, 1-[3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1yl]propyl]piperazin-1-yl]phenyl]urea 400802-59-7P,

```
1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4-
trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
sulfonic acid amide 400802-60-0P, N-[3-Chloro-2-[4-[2-hydroxy-3-
[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl]phenyl]methanesulfonamide 400802-61-1P, 1-[4-(2,6-
Dinitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-62-2P, 2-[4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]-3-methanesulfonylaminobenzoic acid
methyl ester 400802-66-6P, 1-[4-(2-Amino-6-
chlorophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-67-7P, 1-[3-Chloro-2-[4-[3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]phenyl]-3-methylurea
400802-68-8P, 1-[3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]phenyl]-3-methylurea 400802-69-9P,
3-Amino-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl]benzoic acid methyl ester 400802-71-3P, 1-[2-[4-[3-[3-(4-
Bromophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl]-3-chlorophenyl]-3-methylurea
400802-72-4P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-73-5P, [3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]phenyl]carbamic acid methyl ester
400802-75-7P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]-3-nitrobenzoic acid methyl ester 400802-76-8P,
1-[4-(2-Chloro-6-nitrophenyl)piperazin-1-yl]-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propan-2-ol 400802-77-9P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-
5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]benzonitrile 400802-78-0P,
3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-79-1P, 2-[4-[3-[5-Acetyl-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400802-80-4P, 2-[4-[3-[3-(4-Chloro-3-
methylphenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400802-81-5P,
1-[3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-
2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400802-82-6P, 1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-
yl]propyl]-5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400802-83-7P,
2-[4-[3-[5-Methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile
400802-84-8P, N-[3-Chloro-2-[4-[3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]phenyl]methanesulfonamide 400802-85-9P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-86-0P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid amide
400802-87-1P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piper
```

```
azin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-sulfonic acid amide trifluoroacetate
400803-17-0P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-
methoxyphenyl)piperazin-1-yl]butyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-18-1P, 1-[1-[3-[4-[Bis(4-
fluorophenyl)methyl]piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-19-2P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-20-5P, 1-[3-(4-Chlorophenyl)-1-[3-
[4-(2-chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-21-6P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(3-chlorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-22-7P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(4-
chlorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-23-8P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2-fluorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-24-9P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(4-
fluorophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-25-0P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(3-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-26-1P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(4-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-27-2P, 1-[3-(4-Chlorophenyl)-1-[2-
hydroxy-3-(4-phenylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-28-3P, 1-[1-[3-(4-
Benzhydrylpiperazin-1-yl)-2-hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-29-4P,
1-[3-(4-Chlorophenyl)-1-[3-[4-[(4-chlorophenyl)phenylmethyl]piperazin-1-
yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-31-8P, 1-[1-[3-(4-Benzylpiperazin-1-yl)-2-
hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-
5-yl]ethanone 400803-33-0P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-(4-iodophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-34-1P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-trifluoromethylphenyl)piperazin-
1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-35-2P, 1-[3-(4-Fluorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-37-4P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-p-tolyl-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-38-5P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(3,4-dichlorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-39-6P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(pyridin-2-
yl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-40-9P, 1-[3-Biphenyl-4-yl-1-[2-hydroxy-3-[4-
(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-41-0P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-phenyl-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-42-1P,
1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-
methoxyphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-43-2P, 1-[1-[2-Hydroxy-3-[4-(pyridin-4-yl)piperazin-1-
yl]propyl]-3-(4-methoxyphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-45-4P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-
(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid tert-butyl ester 400803-46-5P,
1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-naphthalen-
```

```
2-yl-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-47-6P, 1-[3-(4-tert-Butylphenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-48-7P, 1-[3-(4-Chlorophenyl)-1-[2-
hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]butan-1-one 400803-49-8P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]-2,2-
dimethylpropan-1-one 400803-50-1P, [3-(4-Chlorophenyl)-1-[2-
hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl](4-methoxyphenyl)methanone
400803-51-2P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid amide 400803-52-3P,
1-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-[4-
(2-methoxyphenyl)piperazin-1-yl]propan-2-ol 400803-53-4P,
1-[3-(3,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-54-5P, 1-[1-[2-Hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-55-6P, 1-[1-[2-Hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-3-(4-nitrophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-56-7P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2,4-difluorophenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-57-8P, 2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400803-59-0P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,3-
dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-60-3P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2,4-dimethylphenyl)piperazin-1-yl]-2-
hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-61-4P, 1-[3-(4-Chlorophenyl)-1-[3-[4-(2,5-
dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-62-5P,
1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(3-methyl-4-p-tolylpiperazin-1-
yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-63-6P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-(3-methyl-4-m-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-64-7P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-3-
[4-(4-trifluoromethylpyridin-2-yl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-65-8P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(3-chloro-5-trifluoromethylpyridin-2-
yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-66-9P, 1-[3-(4-Chlorophenyl)-1-[3-
[4-(3,5-dichloropyridin-4-yl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-67-0P,
1-[3-(4-Chlorophenyl)-1-[4-[4-(2-methoxyphenyl)piperazin-1-yl]but-2-enyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-68-1P, 4-[5-Acetyl-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-
c]pyridin-3-yl]benzonitrile 400803-71-6P, 1-[3-(2,4-
Bistrifluoromethylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-72-7P, 1-[3-(2,4-Dichlorophenyl)-1-[2-hydroxy-3-[4-(2-
methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-73-8P, 2-[4-[3-[3-(4-
Chlorophenyl)-5,6-dihydro-4H-cyclopenta[c]pyrazol-1-yl]-2-
hydroxypropyl]piperazin-1-yl]benzonitrile 400803-74-9p,
2-[4-[3-[3-(4-Chlorophenyl)-5,6-dihydro-4H-cyclopenta[c]pyrazol-1-yl]-2-
hydroxypropyl]piperazin-1-yl]phenol 400803-75-0P,
1-[3-(4-Bromophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
```

```
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-76-1P, 1-[3-(4-Chlorophenyl)-1-[2-[(2-methylallyl)oxy]-3-(4-
o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400803-77-2P, 1-[1-[2-Benzyloxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-78-3P,
Acetic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c)pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester
400803-79-4P, Morpholine-4-carboxylic acid 1-[5-acetyl-3-(4-
chlorophenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl] -2-(4-o-
tolylpiperazin-1-yl)ethyl ester 400803-80-7P, Benzoic acid
1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester 400803-81-8P,
Benzoylcarbamic acid 1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-tolylpiperazin-1-
yl)ethyl ester 400803-83-0P, 1-[3-(3-Chlorophenyl)-1-[2-hydroxy-
3-[4-(2-hydroxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400803-84-1P,
2-[4-[3-[5-Acetyl-3-(3-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile
400803-85-2P, tert-Butylcarbamic acid 1-[5-acetyl-3-(4-
chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-ylmethyl]-2-(4-o-
tolylpiperazin-1-yl)ethyl ester 400803-86-3P, Carbonic acid
1-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
ylmethyl]-2-(4-o-tolylpiperazin-1-yl)ethyl ester methyl ester
400803-87-4P, 1-[3-(4-Chlorophenyl)-1-[4-[4-(2-
hydroxyphenyl)piperazin-1-yl]but-2-enyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-88-5P, 2-[4-[4-[5-Acetyl-3-(4-
chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]but-2-
enyl]piperazin-1-yl]benzonitrile 400803-89-6P,
1-[3-(4-Chlorophenyl)-1-[3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-90-9P, 1-[3-(4-Chlorophenyl)-1-[5-[4-(2-
methoxyphenyl)piperazin-1-yl]pentyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-91-0P, 1-[3-(4-Chlorophenyl)-1-[6-
[4-(2-methoxyphenyl)piperazin-1-yl]hexyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400803-92-1P, 2-[1-[[5-Acetyl-3-(4-
chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-
tolylpiperazin-1-yl)ethoxy]acetamide 400803-93-2P,
[1-[[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-
1-yl]methyl]-2-(4-o-tolylpiperazin-1-yl)ethoxy]acetic acid
400803-94-3P, [1-[[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]methyl]-2-(4-o-tolylpiperazin-1-
yl)ethoxy]acetonitrile 400803-95-4P, 1-[1-[3-[4-(2-
Bromobenzenesulfonyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-chlorophenyl)-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400803-97÷6P, 2-[4-[3-[3-(4-Chlorophenyl)-4,5,6,7-
tetrahydroindazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile
400803-98-7P, 2-[4-[3-[3-(4-Chlorophenyl)-4,5,6,7-
tetrahydroindazol-1-yl]-2-hydroxypropyl]piperazin-1-yl]phenol
400803-99-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
carboxylic acid dimethylamide 400804-00-4P, 1-[1-[2-Azido-3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-01-5P,
1-[1-[2-Amino-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-chlorophenyl)-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-02-6P, 1-[3-(4-Chlorophenyl)-1-[2-methylamino-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400804-03-7P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
carboxylic acid amide 400804-04-8P, 3-(4-Chlorophenyl)-1-[2-
```

```
hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-
    tetrahydroindazol-5-one ethylene ketal 400804-05-9P,
    1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-
    tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
    400804-06-0P, 1-[3-(4-Chloro-3-methylphenyl)-1-[2-hydroxy-3-[4-(2-
    methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
    c]pyridin-5-yl]ethanone 400804-07-1P, 2-[4-[3-[5-Acetyl-3-(4-
    chloro-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]benzonitrile 400804-08-2P,
    1-[1-[3-[4-(2-Chlorobenzenesulfonyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-
    chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
    400804-09-3P
, 1-[3-(4-Chloro-2-fluorophenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-
    yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
    400804-10-6P, 2-[4-[3-[5-Acetyl-3-(4-chloro-2-fluorophenyl)-
    4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]benzonitrile 400804-11-7P, 1-[3-(4-Chlorophenyl)-5-methyl-
    4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-
    yl)propan-2-ol 400804-12-8P, 1-[3-(4-Chlorophenyl)-1-[2-hydroxy-
    3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
    c]pyridin-5-yl]-2-phenylethanone 400804-13-9P,
    1-[3-(4-Chlorophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-
    c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
    400804-14-0P, 1-[1-[3-[4-(2-Aminophenyl)piperazin-1-yl]-2-
    hydroxypropyl]-3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-
    5-yl]ethanone 400804-15-1P, N-[2-[4-[3-[5-Acetyl-3-(4-
    chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]phenyl]methanesulfonamide
    400804-16-2P, N-[2-[4-[3-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-
    tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]phenyl]acetamide 400804-17-3P, 1-[2-[4-[3-[5-Acetyl-3-(4-
    chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]phenyl]-3-isopropylurea 400804-18-4P
     , 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-
    1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid hydrazide
    400804-19-5P, 2-[4-[3-[5-Acetyl-3-(4-phenoxyphenyl)-4,5,6,7-
    tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]benzonitrile 400804-20-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-
     (4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-
    5-carboxylic acid phenethylamide 400804-21-9P,
    3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-
    tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid (4-methoxyphenyl)amide
    400804-22-0P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
    tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
    carbothioic acid methylamide 400804-23-1P, 2-[4-[3-[5-Acetyl-3-
     (4-chloro-3-nitrophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-
    hydroxypropyl]piperazin-1-yl]benzonitrile 400804-24-2P,
    1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-
    tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid ethylamide
    400804-25-3P, N-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-
    yl)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-
    chlorophenyl] methanesulfonamide 400804-26-4P,
    1-[3-(4-Chlorophenyl)-1-[2-[(1-ethylpyrrolidin-2-ylmethyl)amino]-3-(4-o-
    tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
    yl]ethanone 400804-27-5P, 2-[4-[3-[5-Acetyl-3-(4-
    trifluoromethylsulfanylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
    yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile 400804-28-6P,
    2-[4-[3-[5-Acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-
    tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
    yl]benzonitrile 400804-29-7P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-
     (4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-
    5-carboxylic acid isopropylamide 400804-30-0P,
```

```
3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid phenylamide
400804-31-1P, 1-[3-(4-Chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
400804-32-2P, 1-[3-(4-Iodophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
400804-33-3P, 2-[4-[3-[5-Acetyl-3-(4-methanesulfonylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400804-34-4P, 1-[1-[2-Hydroxy-3-[4-(2-
hydroxyphenyl)piperazin-1-yl]propyl]-3-(4-methanesulfonylphenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-35-5P,
1-[3-(4-Iodophenyl)-5-methanesulfonyl-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
400804-36-6P, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-3-(4-
iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid
amide 400804-37-7P, 1-[2-Hydroxy-3-(4-o-tolylpiperazin-1-
yl)propyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-
carboxylic acid methyl ester 400804-38-8P, 1-[2-Hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-iodophenyl)-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid methylamide
400804-39-9P, N-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-
yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-
chlorophenyl] methanesulfonamide 400804-40-2P,
1-[5-[5-Acetyl-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]-3-ethylurea
400804-41-3P, 1-[5-[5-Acetyl-1-[3-[4-(2-cyanophenyl)piperazin-1-
yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-
chlorophenyl]-3-ethylurea 400804-42-4P, N-[5-[5-Acetyl-1-[2-
hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-
pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide 400804-43-5P
, Acetic acid 2-[5-acetyl-3-(3-amino-4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-[[4-(2-cyanophenyl)piperazin-1-
yl]methyl]ethyl ester 400804-44-6P, N-[5-[5-Acetyl-1-[3-[4-(2-
cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-
pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]acetamide 400804-45-7P
, N-[2-[5-Acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-ylmethyl)ethyl]methanesulfonamide
400804-46-8P, 1-[3-(4-Chlorophenyl)-1-[2-[[2-(pyridin-2-
yl)ethyl]amino]-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-
tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-47-9P,
1-[3-(4-Chlorophenyl)-1-[2-(2-dimethylaminoethylamino)-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400804-48-0P, Carbonic acid 2-[5-acetyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-
o-tolylpiperazin-1-ylmethyl)ethyl methyl ester 400804-49-1P,
Carbamic acid 2-[5-acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-1-(4-o-tolylpiperazin-1-
ylmethyl)ethyl ester 400804-50-4P, 3-(4-Chlorophenyl)-1-[2-
hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydroindazol-5-one
400804-51-5P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-4,5,6,7-tetrahydro-1H-indazol-5-ol
400804-52-6P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-
tolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydroindazol-5-one oxime
400804-53-7P, 1-[5-(Ethanesulfonyl)-3-(4-iodophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-
ol 400804-54-8P, 1-[5-(4-Chlorobenzenesulfonyl)-3-(4-iodophenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-
yl)propan-2-ol 400804-55-9P, 1-[3-[4-(2-Cyanophenyl)piperazin-1-
yl]-2-hydroxypropyl]-3-(4-iodophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-carboxylic acid methylamide 400804-56-0P,
1-[3-(4-Iodophenyl)-5-(propane-2-sulfonyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]-3-(4-o-tolylpiperazin-1-yl)propan-2-ol
```

RN

CN

(drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) 400802-42-8 CAPLUS

1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[3-[4-(2-fluorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400802-44-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[2-methoxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-45-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-(4-iodophenyl)- (9CI) (CA
INDEX NAME)

RN 400802-49-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(1-piperazinyl)ethyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-51-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-52-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[2-[(aminocarbonyl)oxy]-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-(9CI) (CA INDEX NAME)

RN 400802-53-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400802-54-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-.alpha.[[4-(5-chloro-2-methylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
(.alpha.R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 400802-55-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-

piperazinyl]-2-fluoropropyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-56-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-acetic acid, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-.alpha.-oxo-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-57-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-58-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[2-[(aminocarbonyl)amino]-6-chlorophenyl]-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-59-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} CF3 & 0 \\ Me-S-NH \\ N & O \\ N$$

RN 400802-60-0 CAPLUS

CN Methanesulfonamide, N-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-61-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-62-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[(methylsulfonyl)amino]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-66-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-amino-6-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-67-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-[6-chloro-2-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]propyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-68-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-69-9 CAPLUS

CN Benzoic acid, 3-amino-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-71-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-bromophenyl)-.alpha.-[[4-[2-chloro-6-[[(methylamino)carbonyl]amino]phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-72-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-73-5 CAPLUS

CN Carbamic acid, [3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-75-7 CAPLUS

CN Benzoic acid, 2-[4-[3-[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400802-76-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-77-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400802-78-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-79-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400802-80-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chloro-3-methylphenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400802-81-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-82-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400802-83-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI)
(CA INDEX NAME)

RN 400802-84-8 CAPLUS
CN Methanesulfonamide, N-[3-chloro-2-[4-[3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400802-85-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(3,4-dichlorophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400802-86-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400802-87-1 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 400802-59-7

CMF C27 H33 C1 F3 N7 O4 S2

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 400803-17-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

RN 400803-18-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]methyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH} - \text{CH}_2 \\ \text{F} \end{array}$$

RN 400803-19-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-20-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-21-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(3-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA
INDEX NAME)

RN 400803-22-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(4-chlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA
INDEX NAME)

RN 400803-23-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2-fluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-24-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(4-fluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-25-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(3-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-26-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(4-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-27-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[(4-phenyl-1-piperazinyl)methyl]- (9CI) (CA INDEX NAME)

RN 400803-28-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(diphenylmethyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-29-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]methyl]-4,5,6,7tetrahydro- (9CI) (CA INDEX NAME)

400803-31-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

Ac N OH
$$CH_2-Ph$$
 $N CH_2-CH-CH_2-N$

RN 400803-33-0 CAPLUS

CN lH-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-34-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[2-(trifluoromethyl)phenyl]-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-35-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-fluorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-37-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(4-methylphenyl)- (9CI) (CA INDEX NAME)

RN 400803-38-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(3,4-dichlorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

AC N OH N
$$CH_2-CH-CH_2-N$$
 N

RN 400803-39-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-40-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[1,1'-biphenyl]-4-yl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-41-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-phenyl- (9CI) (CA INDEX NAME)

RN 400803-42-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-methoxyphenyl)-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-43-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-methoxyphenyl)-.alpha.-[[4-(4-pyridinyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-45-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-46-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

RN 400803-47-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-(1,1-dimethylethyl)phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-48-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-5-(1oxobutyl)- (9CI) (CA INDEX NAME)

RN 400803-49-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-5-(2,2-dimethyl-1oxopropyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-50-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-(4-methoxybenzoyl)-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-51-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 400803-52-3 CAPLUS

CN lH-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA
INDEX NAME)

RN 400803-53-4 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3,4-dichlorophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 400803-54-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400803-55-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-3-(4-nitrophenyl)- (9CI) (CA
INDEX NAME)

RN 400803-56-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,4-difluorophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400803-57-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-59-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,3-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

AC N OH N
$$CH_2-CH-CH_2-N$$
 Me

RN 400803-60-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,4-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

RN 400803-61-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(2,5-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI)
(CA INDEX NAME)

RN 400803-62-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[3-methyl-4-(4-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400803-63-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[3-methyl-4-(3-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N OH Me Me

RN 400803-64-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-65-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]methyl]4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400803-66-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

Ac
$$N$$
 OH $CH_2-CH-CH_2-N$ $C1$

RN 400803-67-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 N CH_2-CH $CH-CH_2-N$ N

RN 400803-68-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-cyanophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-71-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[2,4-bis(trifluoromethyl)phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-72-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(2,4-dichlorophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

RN 400803-73-8 CAPLUS
CN Benzonitrile, 2-[4-[3-[3-(4-chlorophenyl)-5,6-dihydro-1(4H)-cyclopentapyrazolyl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-74-9 CAPLUS

CN 1(4H)-Cyclopentapyrazoleethanol, 3-(4-chlorophenyl)-5,6-dihydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-75-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-76-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-methylphenyl)-1-piperazinyl]-2-[(2-methyl-2-propenyl)oxy]propyl]- (9CI) (CA INDEX NAME)

RN 400803-77-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-methylphenyl)-1-piperazinyl]-2-(phenylmethoxy)propyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 $O-CH_2-Ph$ N $N-CH_2-CH-CH_2-N$

RN 400803-78-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-, acetate (ester) (9CI) (CA INDEX NAME)

RN 400803-79-4 CAPLUS

CN 4-Morpholinecarboxylic acid, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 400803-80-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-, benzoate (ester) (9CI) (CA INDEX NAME)

RN 400803-81-8 CAPLUS

CN Carbamic acid, benzoyl-, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 400803-83-0 CAPLUS

CN lH-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$

RN 400803-84-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chlorophenyl)-.alpha.[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA
INDEX NAME)

RN 400803-85-2 CAPLUS

CN Carbamic acid, (1,1-dimethylethyl)-, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl ester (9CI) (CA INDEX NAME)

RN 400803-86-3 CAPLUS

CN Carbonic acid, 1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl methyl ester (9CI) (CA INDEX NAME)

RN 400803-87-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[4-[4-(2-hydroxyphenyl)-1-piperazinyl]-2-butenyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 N N CH_2-CH $CH-CH_2-N$ N

RN 400803-88-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[4-[4-(2-cyanophenyl)-1-piperazinyl]-2-butenyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400803-89-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400803-90-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[5-[4-(2-methoxyphenyl)-1-piperazinyl]pentyl]- (9CI) (CA INDEX NAME)

RN 400803-91-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1-[6-[4-(2-methoxyphenyl)-1-piperazinyl]hexyl]- (9CI) (CA INDEX NAME)

RN 400803-92-1 CAPLUS

CN Acetamide, 2-[1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 $O-CH_2-C-NH_2$ N $N-CH_2-CH-CH_2-N$ N

RN 400803-93-2 CAPLUS

CN Acetic acid, [1-[[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethoxy]- (9CI) (CA INDEX NAME)

RN 400803-94-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-chlorophenyl)-1-[2-(cyanomethoxy)-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400803-95-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-[(2-bromophenyl)sulfonyl]-1-piperazinyl]methyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400803-97-6 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-indazol-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400803-98-7 CAPLUS

CN 1H-Indazole-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400803-99-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 400804-00-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[2-azido-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

Ac
$$N$$
 N_3 N_4 N_4

RN 400804-01-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-02-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-N-methyl-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-03-7 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 400804-04-8 CAPLUS
CN Spiro[1,3-dioxolane-2,5'-[5H]indazole]-1'(4'H)-ethanol,
 3'-(4-chlorophenyl)-6',7'-dihydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ OH \\ N \\ CH_2 - CH - CH_2 \\ \end{array}$$

RN 400804-05-9 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-06-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-07-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-08-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-.alpha.[[4-[(2-chlorophenyl)sulfonyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-09-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-2-fluorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-10-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-2-fluorophenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-11-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-methyl-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-12-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(phenylacetyl)- (9CI) (CA INDEX NAME)

RN 400804-13-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-14-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-aminophenyl)-1-piperazinyl]methyl]-3-(4-chlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-15-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[2-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-16-2 CAPLUS

CN Acetamide, N-[2-[4-[3-[5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]phenyl]- (9CI) (CA INDEX NAME)

RN 400804-17-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-[2-[[[(1-methylethyl)amino]carbonyl]amino]phenyl]-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-18-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-, hydrazide (9CI) (CA INDEX NAME)

RN 400804-19-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 400804-20-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-(2-phenylethyl)- (9CI) (CA INDEX NAME)

RN 400804-21-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 400804-22-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carbothioamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 400804-23-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

RN 400804-24-2 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, N-ethyl-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)(9CI) (CA INDEX NAME)

RN 400804-25-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[(methylsulfonyl)amino]phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-26-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-N-[(1-ethyl-2-pyrrolidinyl)methyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-27-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

RN 400804-28-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

400804-29-7 CAPLUS

RN

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 400804-30-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N-phenyl- (9CI) (CA INDEX NAME)

RN 400804-31-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 400804-32-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-33-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400804-34-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-hydroxyphenyl)-1-piperazinyl]methyl]-3-[4-(methylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400804-35-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-5-(methylsulfonyl)-(9CI) (CA INDEX NAME)

RN 400804-36-6 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

RN 400804-37-7 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 400804-38-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-3-(4-iodophenyl)-N-methyl-(9CI) (CA INDEX NAME)

RN 400804-39-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[(methylsulfonyl)amino]phenyl]-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-40-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[(ethylamino)carbonyl]amino]phenyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-41-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[4-chloro-3-[[(ethylamino)carbonyl]amino]phenyl]-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-42-4 CAPLUS

CN Acetamide, N-[5-[5-acetyl-4,5,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)

RN 400804-43-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-amino-4-chlorophenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-,
acetate (ester) (9CI) (CA INDEX NAME)

RN 400804-44-6 CAPLUS

CN Acetamide, N-[5-[5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]-2-chlorophenyl]- (9CI) (CA INDEX NAME)

RN 400804-45-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-(methylsulfonyl)- (9CI) (CA INDEX NAME)

RN 400804-46-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

RN

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanamine, 5-acetyl-3-(4-chlorophenyl)-N-[2-(dimethylamino)ethyl]-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-48-0 CAPLUS

CN Carbonic acid, 1-[[5-acetyl-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]methyl]-2-[4-(2-methylphenyl)-1-piperazinyl]ethyl methyl ester (9CI) (CA INDEX NAME)

RN 400804-49-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]-3-[4-(trifluoromethyl)phenyl]-, carbamate (ester) (9CI) (CA INDEX NAME)

RN 400804-50-4 CAPLUS

CN 5H-Indazol-5-one, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ N & & OH \\ N & CH_2 - CH - CH_2 - N \end{array}$$

RN 400804-51-5 CAPLUS

CN 1H-Indazole-1-ethanol, 3-(4-chlorophenyl)-4,5,6,7-tetrahydro-5-hydroxy-alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{C1} \\ \text{N} \\ \text{N} \\ \text{CH}_2 - \text{CH} - \text{CH}_2 \\ \end{array}$$

RN 400804-52-6 CAPLUS

CN 5H-Indazol-5-one, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-, oxime (9CI) (CA INDEX NAME)

RN 400804-53-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-(ethylsulfonyl)-4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-54-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-[(4-chlorophenyl)sulfonyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-55-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)-N-methyl-(9CI) (CA INDEX NAME)

RN 400804-56-0 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-[(1-methylethyl)sulfonyl]-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-57-1 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carbonitrile, 1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro-3-(4-iodophenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ NC & & & \\ N & & OH & \\ N & CH_2 - CH - CH_2 - N & \\ \end{array}$$

RN 400804-60-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-[3-chloro-4-(trifluoromethyl)phenyl]-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-61-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-[3-fluoro-4-(trifluoromethyl)phenyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

ΙT 400804-63-9P, 1-[3-(4-Chloro-3-methylphenyl)-1-[2-hydroxy-3-[4-(2methoxybenzyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3c]pyridin-5-yl]ethanone 400804-64-0P, 2-[4-[3-[5-Acetyl-3-(4bromo-3-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2hydroxypropyl]piperazin-1-yl]benzonitrile 400804-65-1P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-o-tolylpiperazin-1-yl)propyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxamidine 400804-66-2P, 2-[4-[3-[5-Acetyl-3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydropyrazolo[4,3c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-yl]benzonitrile **400804-67-3P**, 2-[4-[3-[5-Acetyl-3-(3,4-difluorophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-68-4P, 2-[4-[3-[5-Acetyl-3-(3,5dichlorophenyl) -4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl] -2hydroxypropyl]piperazin-1-yl]benzonitrile 400804-69-5P, 2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-(2-morpholin-4ylethoxy)propyl]piperazin-1-yl]benzonitrile 400804-70-8P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-5-trifluoromethanesulfonyl-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile 400804-71-9P, 2-[4-[3-[5-Acetyl-3-(3-chloro-4-methylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-72-0P, N-[4-[5-Acetyl-1-[3-[4-(2cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridin-3-yl]phenyl]acetamide 400804-73-1P, 2-[4-[3-[5-Acetyl-3-(4-bromo-3-chlorophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400804-74-2P, 1-[3-(3-Chloro-4-methylphenyl)-1-[2-hydroxy-3-[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400804-75-3P, 1-[1-[3-[4-(2-Azidophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-

```
bromophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-76-4P, 2-[4-[3-[5-Acetyl-3-(3-azido-4-chlorophenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl]benzonitrile 400804-77-5P, 5-Methanesulfonyl-1-[3-(4-o-
tolylpiperazin-1-yl)propyl]-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-
1H-pyrazolo[4,3-c]pyridine 400804-78-6P, 5-Methanesulfonyl-1-[3-
[4-(2-methoxyphenyl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-79-7P,
1-[1-[2-Hydroxy-3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-3-(4-
nitrophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-80-0P, 3-(4-Bromophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-
yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid
tert-butyl ester 400804-81-1P, 3-(4-Bromophenyl)-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-
c]pyridine 400804-82-2P, 1-[3-(4-Bromophenyl)-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridin-5-yl]ethanone 400804-83-3P, 3-(4-Bromophenyl)-5-
methanesulfonyl-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-
tetrahydro-1H-pyrazolo[4,3-c]pyridine 400804-84-4P,
3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl
ester 400804-85-5P, 3-(4-Bromophenyl)-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-1,4,6,7-tetrahydropyrazolo[4,3-
c]pyridine-5-sulfonic acid amide 400804-86-6P,
1-[3-(3,4-Dichlorophenyl)-1-[3-[4-(2-nitrophenyl)piperazin-1-yl]propyl]-
1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone
400804-87-7P, 3-(3,4-Dichlorophenyl)-5-methanesulfonyl-1-[3-[4-(2-
nitrophenyl)piperazin-1-yl]propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-
c]pyridine 400804-93-5P, 1-[4-(2,6-Dimethylphenyl)piperazin-1-
yl]-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propan-2-ol 400804-94-6P,
1-[1-[3-[4-(2,6-Dimethylphenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-
trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-
yl]ethanone 400804-95-7P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]isophthalonitrile 400804-96-8P,
2-[4-[3-[5-Acetyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1-
yl)isophthalonitrile 400804-97-9P, 3-Chloro-2-[4-[2-hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]benzoic acid methyl ester
400804-98-0P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]-N-methylbenzamide 400804-99-1P,
[3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-
4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-
yl]phenyl]morpholin-4-ylmethanone 400805-00-7P
400805-01-8P, 3-Chloro-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]-N-pyridin-4-ylmethylbenzamide
400805-02-9P, 2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-
trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]-3-nitrobenzoic acid methyl ester
400805-03-0P, 3-Acetylamino-2-[4-[2-hydroxy-3-[5-methanesulfonyl-3-
(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridin-1-
yl]propyl]piperazin-1-yl]benzoic acid methyl ester 400805-04-1P,
2-[4-[2-Hydroxy-3-[5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-
tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]-3-
nitrobenzamide 400805-05-2P, 2-[4-[2-Hydroxy-3-[5-
methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydropyrazolo[4,3-
c]pyridin-1-yl]propyl]piperazin-1-yl]-3-(3-methylureido)benzoic acid
methyl ester 400805-06-3P, 1-[1-[3-[4-(2,6-
```

Dinitrophenyl)piperazin-1-yl]-2-hydroxypropyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-07-4P 400805-08-5P, 1-[1-[3-[4-(3,5-Dichloropyridin-4-yl)piperazin-1-yl]-2-hydroxypropyl]-3-(4trifluoromethylsulfanylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400805-09-6P, 1-[1-[3-[4-(3,5-Dichloropyridin-4yl)piperazin-1-yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone 400805-10-9P, 2-[4-[3-[5-Acety1-3-(4-bromopheny1)-4,5,6,7-tetrahydropyrazolo[4,3c]pyridin-1-yl]-2-azido-propyl]piperazin-1-yl]benzonitrile 400824-64-8P, 3-(4-Chlorophenyl)-1-[2-hydroxy-3-(4-otolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid dimethylamide RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (drug candidate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) RN 400804-63-9 CAPLUS 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-methylphenyl)-CN 4,5,6,7-tetrahydro-.alpha.-[[4-[(2-methoxyphenyl)methyl]-1piperazinyl]methyl] - (9CI) (CA INDEX NAME)

RN 400804-64-0 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromo-3-methylphenyl).alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 400804-65-1 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboximidamide, 3-(4-chlorophenyl)-1,4,6,7tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]- (9CI)
(CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 400804-66-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-67-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-(3,4-difluorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-68-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-3-(3,5-dichlorophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-69-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-[2-(4-morpholinyl)ethoxy]propyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-70-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-(4-iodophenyl)-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

RN 400804-71-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chloro-4-methylphenyl)-

.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-72-0 CAPLUS

CN Acetamide, N-[4-[5-acetyl-1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-(9CI) (CA INDEX NAME)

RN 400804-73-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-bromo-3-chlorophenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-74-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-chloro-4-methylphenyl)-4,5,6,7-tetrahydro-.alpha.-[[4-(2-methoxyphenyl)-1-piperazinyl]methyl]-(9CI) (CA INDEX NAME)

RN 400804-75-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2-azidophenyl)-1-piperazinyl]methyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

RN 400804-76-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(3-azido-4-chlorophenyl)-.alpha.-[[4-(2-cyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400804-77-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-1-[3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl](9CI) (CA INDEX NAME)

RN 400804-78-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 4,5,6,7-tetrahydro-1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400804-79-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-4,5,6,7-tetrahydro-3-(4-nitrophenyl)-.alpha.-[[4-(2-nitrophenyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)

RN 400804-80-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-bromophenyl)-1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-81-1 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(4-bromophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

HN N (CH₂)₃ N
$$N$$

RN 400804-82-2 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(4-bromophenyl)-4,5,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-83-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(4-bromophenyl)-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 400804-84-4 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(3,4-dichlorophenyl)1,4,6,7-tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]-,
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400804-85-5 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-sulfonamide, 3-(4-bromophenyl)-1,4,6,7tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-86-6 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-3-(3,4-dichlorophenyl)-4,5,6,7tetrahydro-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-87-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 3-(3,4-dichlorophenyl)-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1-[3-[4-(2-nitrophenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

RN 400804-93-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-94-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dimethylphenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-95-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-(2,6-dicyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-96-8 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dicyanophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400804-97-9 CAPLUS

CN Benzoic acid, 3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400804-98-0 CAPLUS
CN Benzamide, 3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-

yl]propyl]-1-piperazinyl]-N-methyl- (9CI) (CA INDEX NAME)

RN 400804-99-1 CAPLUS
CN Morpholine, 4-[3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]benzoyl]- (9CI) (CA INDEX NAME)

RN 400805-00-7 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, .alpha.-[[4-[2-chloro-6-(4-morpholinylmethyl)phenyl]-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-01-8 CAPLUS

CN Benzamide, 3-chloro-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

RN 400805-02-9 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-3-nitro-, methyl ester (9CI) (CA INDEX NAME)

RN 400805-03-0 CAPLUS

CN Benzoic acid, 3-(acetylamino)-2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 400805-04-1 CAPLUS

CN Benzamide, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]-3-nitro-(9CI) (CA INDEX NAME)

RN 400805-05-2 CAPLUS

CN Benzoic acid, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-5-(methylsulfonyl)-3[4-(trifluoromethyl)phenyl]-1H-pyrazolo[4,3-c]pyridin-1-yl]propyl]-1piperazinyl]-3-[[(methylamino)carbonyl]amino]-, methyl ester (9CI) (CA
INDEX NAME)

RN 400805-06-3 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(2,6-dinitrophenyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Ac
$$N$$
 OH N $CH_2-CH-CH_2-N$ NO_2

RN 400805-07-4 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

RN 400805-08-5 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-.alpha.-[[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]methyl]-4,5,6,7-tetrahydro-3-[4-[(trifluoromethyl)thio]phenyl]- (9CI) (CA INDEX NAME)

$$F_3C-S$$
 $C1$
 N
 N
 $CH_2-CH-CH_2-N$
 $C1$
 N
 $C1$

RN 400805-09-6 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[3-[4-(3,5-dichloro-4-pyridinyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-(9CI) (CA INDEX NAME)

RN 400805-10-9 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 5-acetyl-1-[2-azido-3-[4-(2-cyanophenyl)-1-piperazinyl]propyl]-3-(4-bromophenyl)-4,5,6,7-tetrahydro-(9CI) (CA INDEX NAME)

RN 400824-64-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxamide, 3-(4-chlorophenyl)-1,4,6,7-tetrahydro-1-[2-hydroxy-3-[4-(2-methylphenyl)-1-piperazinyl]propyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

IT 400802-96-2P, 2-[4-[2-Hydroxy-3-[3-(4-iodophenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]propyl]piperazin-1-yl]benzonitrile
400802-99-5P, 1-[3-(4-Chloro-3-nitrophenyl)-1-[2-hydroxy-3-(4-otolylpiperazin-1-yl)propyl]-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5yl]ethanone 400803-03-4P, 3-(4-Chloro-3-methylphenyl)-1-[3-[4-(2-cyanophenyl)piperazin-1-yl]-2-hydroxypropyl]-1,4,6,7tetrahydropyrazolo[4,3-c]pyridine-5-carboxylic acid tert-butyl ester
400803-04-5P, 2-[4-[3-[3-(4-Chloro-3-methylphenyl)-4,5,6,7tetrahydropyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]piperazin-1yl]benzonitrile 400803-06-7P, 1-[3-[4-(2-Chloro-6nitrophenyl)piperazin-1-yl]propyl]-5-methanesulfonyl-3-(4-

trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridine 400803-07-8P, 1-[3-[4-(2-Chloro-6-nitrophenyl)piperazin-1yl]propyl]-3-(4-trifluoromethylphenyl)-1,4,6,7-tetrahydropyrazolo[4,3c]pyridine-5-carboxylic acid tert-butyl ester 400803-08-9P, 1-[3-[4-(2-Amino-6-chlorophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-09-0P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5carboxylic acid tert-butyl ester 400803-10-3P, 1-[3-[4-(2-Chloro-6-methanesulfonylaminophenyl)piperazin-1-yl]propyl]-3-(4trifluoromethylphenyl) -1,4,6,7-tetrahydropyrazolo[4,3-c]pyridine-5sulfonic acid (tert-butoxycarbonyl)amide RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of piperazinylpropyl-substituted pyrazolopyridines and analogs as cathepsin S inhibitors) ΡN 400802-96-2 CAPLUS CN Benzonitrile, 2-[4-[2-hydroxy-3-[4,5,6,7-tetrahydro-3-(4-iodophenyl)-1Hpyrazolo[4,3-c]pyridin-1-yl]propyl]-1-piperazinyl]- (9CI) (CA INDEX NAME)

RN 400802-99-5 CAPLUS
CN 1H-Pyrazolo[4,3-c]pyridine-1-ethanol, 5-acetyl-3-(4-chloro-3-nitrophenyl)4,5,6,7-tetrahydro-.alpha.-[[4-(2-methylphenyl)-1-piperazinyl]methyl](9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 400803-03-4 CAPLUS
CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 3-(4-chloro-3-methylphenyl)1-[3-[4-(2-cyanophenyl)-1-piperazinyl]-2-hydroxypropyl]-1,4,6,7-tetrahydro, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-04-5 CAPLUS

CN Benzonitrile, 2-[4-[3-[3-(4-chloro-3-methylphenyl)-4,5,6,7-tetrahydro-1Hpyrazolo[4,3-c]pyridin-1-yl]-2-hydroxypropyl]-1-piperazinyl]- (9CI) (CA
INDEX NAME)

RN 400803-06-7 CAPLUS

CN 1H-Pyrazolo[4,3-c]pyridine, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-3-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

Me-S N
$$\sim$$
 CH₂) 3 \sim N \sim Cl

RN 400803-07-8 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-chloro-6-nitrophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-08-9 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-(2-amino-6-chlorophenyl)-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-09-0 CAPLUS

CN 5H-Pyrazolo[4,3-c]pyridine-5-carboxylic acid, 1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 400803-10-3 CAPLUS

CN Carbamic acid, [[1-[3-[4-[2-chloro-6-[(methylsulfonyl)amino]phenyl]-1-piperazinyl]propyl]-1,4,6,7-tetrahydro-3-[4-(trifluoromethyl)phenyl]-5H-pyrazolo[4,3-c]pyridin-5-yl]sulfonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 6 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:886128 CAPLUS

DOCUMENT NUMBER: 136:20084

Preparation of 5-amino-pyrazolo[4,3-e]-1,2,4-TITLE:

triazolo[1,5-c]pyrimidines as adenosine A2a receptor

antagonists

Neustadt, Bernard R.; Lindo, Neil A.; Greenlee, INVENTOR(S):

William J.; Tulshian, Deen; Silverman, Lisa S.; Xia,

Yan; Boyle, Craig D.; Chackalamannil, Samuel

Schering Corporation, USA PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 66 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

```
KIND DATE
                                                              APPLICATION NO. DATE
       PATENT NO.
                               ----
                                        -----
                                                               _____
                                                              WO 2001-US16954 20010524
       WO 2001092264
                                         20011206
                                A1
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ,
                  MD, RU, TJ, TM
             RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                   DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         20020725
                                                              US 2001-865071 20010524
       US 2002099061
                                 A1
       US 6630475
                                 B2
                                         20031007
       EP 1283839
                                 Α1
                                         20030219
                                                               EP 2001-945991
                                                                                        20010524
                  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                                               JP 2002-500877
                                                                                        20010524
       JP 2003535094
                                 T2
                                         20031125
                                                               NO 2002-5651
       NO 2002005651
                                 Α
                                         20030123
                                                                                        20021125
PRIORITY APPLN. INFO.:
                                                          US 2000-207143P
                                                                                  P
                                                                                        20000526
                                                          WO 2001-US16954 W 20010524
                                  MARPAT 136:20084
OTHER SOURCE(S):
```

GI

The title compds. [I; R = (un)substituted Ph, cycloalkenyl, heteroaryl; X = alkylene, COCH2; Y = O, S, CH2S, (CH2)2NH, etc.; Z = (un)substituted Ph, phenylalkyl heteroaryl, etc.; or Z and Y together are substituted piperidinyl or phenyl], useful in the treatment of Parkinson's disease, alone or in combination with other agents for treating Parkinson's disease, were prepd. and formulated. E.g., a multi-step synthesis of I [R = 2-furanyl; X = (CH2)2; ZY = 4-(2,4-difluorophenyl)piperazin-1-yl] was described. Compds. I showed Ki of 0.3-57 nM against A2a receptor binding.

IT 377728-74-0P 377728-75-1P 377728-76-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 5-amino-pyrazolo[4,3-e]-1,2,4-triazolo[1,5-c]pyrimidines as adenosine A2a receptor antagonists)

RN 377728-74-0 CAPLUS

CN 7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine, 2-(2-furanyl)-7-[3-(4-phenyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

RN 377728-75-1 CAPLUS

CN

7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine,
7-[3-[4-(4-chlorophenyl)-1-piperazinyl]propyl]-2-(2-furanyl)- (9CI) (CA
INDEX NAME)

$$\begin{array}{c|c}
 & N \\
 & N \\$$

RN 377728-76-2 CAPLUS

CN 7H-Pyrazolo[4,3-e][1,2,4]triazolo[1,5-c]pyrimidin-5-amine, 2-(2-furanyl)-7-[3-[4-(4-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
N & N & N \\
N & N & N
\end{array}$$

$$\begin{array}{c|c}
N & N & N \\
N & N & N
\end{array}$$

$$\begin{array}{c|c}
N & N & N & N \\
N & N & N
\end{array}$$

$$\begin{array}{c|c}
N & N & N & N \\
N & N & N & N
\end{array}$$

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

2000:575148 CAPLUS

DOCUMENT NUMBER:

134:36671

TITLE:

Influence of the aliphatic spacer length on the 5-HT1A

receptor activity of new arylpiperazines with an

indazole system

AUTHOR (S):

Paluchowska, Maria H.; Duszynska, Beata; Klodzinska,

Aleksandra; Tatarczynska, Ewa

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (2000), 52(3), 209-216

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal LANGUAGE: English

Novel arylpiperazines, contg. a terminal 1- or 2-indazolyl fragment and a ΔR di- or tetramethylene aliph. spacer, were synthesized and their 5-HT1A and 5-HT2A receptor affinities were detd. All those compds. showed a potent affinity for 5-HT1A receptors (Ki = 5-16 nM) and were evaluated for an intrinsic activity at those receptors. To det. a 5-HT1A agonistic effect of the investigated compds., their ability to induce a lower lip retraction in rats and a behavioral syndrome (flat body posture and forepaw treading) in reserpinized rats were tested, whereas their 5-HT1A antagonistic activity was assessed via inhibition of those symptoms produced by 8-hydroxy-2-(di-n-propylamino)tetralin hydrobromide (8-OH-DPAT). The effect of spacer length on the 5-HT1A activity of the tested compds. was discussed in comparison with that of the 3-methylene analogs described earlier. Both dimethylene derivs. were characterized as weak postsynaptic 5-HT1A receptor antagonists. Compds. 1-indazolyl analog and 2-indazolyl analog, with a tetramethylene aliph. chain were classified as a postsynaptic 5-HT1A antagonist and a partial 5-HT1A agonist, resp. Furthermore, the latter showed a moderate anxiolytic-like effect (conflict drinking Vogel's test in rats) and a weak antidepressant-like activity (forced swimming Porsolt's test in rats).

IT 313053-44-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(arylpiperazines, new 5-HT1A receptor ligands)

RN · 313053-44-0 CAPLUS

1H-Indazole, 1-[4-[4-(2-methoxyphenyl)-1-piperazinyl]butyl]-, CN dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

REFERENCE COUNT:

THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS 19 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

CAPLUS COPYRIGHT 2003 ACS on STN L10 ANSWER 8 OF 14

ACCESSION NUMBER:

1999:807683 CAPLUS

DOCUMENT NUMBER:

132:245821

TITLE:

Structure-activity relationship studies of CNS agents. 40. Effect of the amide fragment on 5-HT1A receptor

activity of some analogs of MP 3022

AUTHOR (S):

Paluchowska, Maria H.; Charakchieva-Minol, Sijka;

Tatarczynska, Ewa; Klodzinska, Aleksandra

CORPORATE SOURCE:

Department of Medicinal Chemistry, Polish Academy of

Sciences, Krakow, PL 31-343, Pol.

SOURCE:

Polish Journal of Pharmacology (1999), 51(5), 415-421

CODEN: PJPAE3; ISSN: 1230-6002

PUBLISHER:

Polish Academy of Sciences, Institute of Pharmacology

DOCUMENT TYPE:

Journal English

LANGUAGE:

A new set of analogs of MP 3022 (1) contg. the amide bond inserted into the intermediate chain linking the terminal heteroarom. and 1-(2-methoxyphenyl)piperazine moieties were prepd. and their 5-HT1A and 5-HT2A receptor affinities were detd. Only compds. with trimethylene chain between amide and arylpiperazine fragments showed satisfactory affinity for 5-HT1A receptor (Ki = 42-87 nM) and high 5-HT2A/5-HT1A selectivity. The new 5-HT1A receptor ligands were investigated in vivo to det. their 5-HT1A agonistic or antagonistic properties. Compds. with terminal indazole fragment or with Ph substituent behaved like weak 5-HT1A receptor antagonists. The structure-affinity relationship studies in this series of compds. revealed that the amide group along with the terminal arom. fragments contributed to interaction with 5-HT1A receptor sites, whereas in vivo results indicated that introduction of the amide group into presented arylpiperazine structures was not a profitable modification

for their 5-HT1A functional activity. IT 184535-35-1

> RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(5-HT1A receptor affinity of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) INDEX NAME)

THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 24 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:193935 CAPLUS

DOCUMENT NUMBER: 130:237561

TITLE: Indole and indazole derivatives, process for their

preparation and the pharmaceutical compositions

containing them

Lavielle, Gilbert; Muller, Olivier; INVENTOR(S):

Vayssettes-Courchay, Christine; Descombes,

Jean-Jacques; Verbeuren, Tony

PATENT ASSIGNEE(S):

Adir et Compagnie, Fr. Eur. Pat. Appl., 28 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

SOURCE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | | | | | | | ю. | DATE | | | |
|----------|---|------------------------------------|--|--|---|--|---|---|---|--------|---|
| | | | | | | | 4 | 19980 | 0901 | | |
| | | | | | | | - | | | | |
| | | | | GB. | GR. I | T. LI. | LU | NL. | SE. | MC. | PT. |
| • | | | | • | • | | | | • | • | • |
| • | • | | | FR | 1997 | 7-10939 |) | 19970 | 0903 | | |
| 9803318 | Α | | | | | | | | | | |
| 203531 | E | 20010 | | | | | | | | | |
| 2162404 | T3 | 2001 | 1216 | ES | 1998 | 3-40215 | 4 | 19980 | 0901 | | |
| 9804033 | A | 19990 | 0304 | NO | 1998 | 3-4033 | | 19980 | 902 | | |
| | | | 0602 | CN | 1998 | 3-12458 | 1 | 19980 | 0902 | | |
| 1087741 | В | 20020 | 717 | | | | | | | | |
| 331683 | Α | 20000 | 0128 | NZ | 1998 | 3-33168 | 13 | 19980 | 902 | | |
| 6020336 | Α | 20000 | 0201 | US | 1998 | 3-14600 | 9 | 19980 | 0902 | | |
| 2246485 | A. | 19990 | 0303 | CA | 1998 | 3-22464 | 85 | 19980 | 0903 | | |
| 9808072 | Α | 19990 | 0309 | | | | | | | | |
| 9883068 | A1 | . 19990 | 0318 | AU | 1998 | 3-83068 | } | 19980 | 903 | | |
| 736602 | B2 | | | | | | | | | | |
| | | | | | | | | | | | |
| | | | | | | | | | | | |
| | | . 2002: | 1101 | HK | 1999 | 9-10487 | '1 | 1999 | 1028 | | |
| Y APPLN. | INFO.: | | | | | | | | | | |
| | | | | US 19 | 98-14 | 6009 | A 3 | 19980 | 902 | | |
| | 902027 902027 R: AT, IE, 2767827 9803318 203531 2162404 9804033 1218052 1087741 331683 6020336 2246485 9808072 9883068 736602 11130773 6046205 1019738 Y APPLN. | 902027 A1 902027 B1 R: AT, BE, CH, | 902027 A1 19990 902027 B1 20010 R: AT, BE, CH, DE, DK, | 902027 Al 19990317 902027 Bl 20010725 R: AT, BE, CH, DE, DK, ES, FR, | 902027 Al 19990317 EP 902027 Bl 20010725 R: AT, BE, CH, DE, DK, ES, FR, GB, IE, SI, LT, LV, FI, RO 2767827 Al 19990305 FR 9803318 A 20000208 BR 203531 E 20010815 AT 2162404 T3 20011216 ES 9804033 A 19990304 NO 1218052 A 19990602 CN 1087741 B 20020717 331683 A 20000128 NZ 6020336 A 20000201 US 2246485 AA 19990303 CA 9808072 A 19990309 ZA 9883068 Al 19990318 AU 736602 B2 20010802 11130773 A2 19990518 JP 6046205 A 20000404 US 1019738 Al 20021101 HK X APPLN. INFO.: FR 19 | 902027 A1 19990317 EP 1998 902027 B1 20010725 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, SI, LT, LV, FI, RO 2767827 A1 19990305 FR 1997 9803318 A 20000208 BR 1998 203531 E 20010815 AT 1998 2162404 T3 20011216 ES 1998 9804033 A 19990304 NO 1998 1218052 A 19990602 CN 1998 1087741 B 20020717 331683 A 20000128 NZ 1998 6020336 A 20000128 NZ 1998 2246485 AA 19990303 CA 1998 9808072 A 19990303 CA 1998 9808072 A 19990309 ZA 1998 9883068 A1 19990318 AU 1998 11130773 A2 19990518 JP 1998 6046205 A 20000404 US 1998 1019738 A1 20021101 HK 1999 X APPLN. INFO.: | 902027 Al 19990317 EP 1998-40215 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, | 902027 Al 19990317 EP 1998-402154 902027 Bl 20010725 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU IE, SI, LT, LV, FI, RO 2767827 Al 19990305 FR 1997-10939 9803318 A 20000208 BR 1998-3318 203531 E 20010815 AT 1998-402154 2162404 T3 20011216 ES 1998-402154 9804033 A 19990304 NO 1998-4033 1218052 A 19990602 CN 1998-124581 1087741 B 20020717 331683 A 20000128 NZ 1998-331683 6020336 A 20000201 US 1998-331683 6020336 A 20000201 US 1998-146009 2246485 AA 19990303 CA 1998-2246485 9808072 A 19990309 ZA 1998-8072 9883068 Al 19990318 AU 1998-83068 736602 B2 20010802 11130773 A2 19990518 JP 1998-249314 6046205 A 20000404 US 1999-299314 1019738 Al 20021101 HK 1999-104871 X APPLN. INFO.: | 902027 Al 19990317 EP 1998-402154 19980 902027 Bl 20010725 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, IE, SI, LT, LV, FI, RO 2767827 Al 19990305 FR 1997-10939 19970 9803318 A 20000208 BR 1998-3318 19980 203531 E 20010815 AT 1998-402154 19980 2162404 T3 20011216 ES 1998-402154 19980 9804033 A 19990304 NO 1998-4033 19980 1218052 A 19990602 CN 1998-124581 19980 1087741 B 20020717 331683 A 20000128 NZ 1998-331683 19980 6020336 A 20000128 NZ 1998-331683 19980 6020336 A 20000201 US 1998-146009 19980 2246485 AA 19990303 CA 1998-2246485 19980 9883068 Al 19990309 ZA 1998-8072 19980 9883068 Al 19990318 AU 1998-83068 19980 736602 B2 20010802 11130773 A2 19990518 JP 1998-249314 19980 6046205 A 20000404 US 1999-299314 19990 1019738 Al 20021101 HK 1999-104871 19990 1019738 Al 20021101 FR 1997-10939 A 19970 | 902027 | 902027 A1 19990317 EP 1998-402154 19980901 902027 B1 20010725 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, IE, SI, LT, LV, FI, RO 2767827 A1 19990305 FR 1997-10939 19970903 9803318 A 20000208 BR 1998-3318 19980901 203531 E 20010815 AT 1998-402154 19980901 2162404 T3 20011216 ES 1998-402154 19980901 2162404 T3 20011216 ES 1998-4033 19980902 1218052 A 19990304 NO 1998-4033 19980902 1218052 A 19990602 CN 1998-124581 19980902 1087741 B 20020717 331683 A 20000128 NZ 1998-331683 19980902 1087741 B 20020717 331683 A 20000201 US 1998-31683 19980902 2246485 AA 19990303 CA 1998-2446485 19980903 9808072 A 19990309 ZA 1998-8072 19980903 9883068 A1 19990318 AU 1998-83068 19980903 9883068 A1 19990318 AU 1998-83068 19980903 736602 B2 20010802 11130773 A2 19990518 JP 1998-249314 19980903 736602 B2 20010802 11130773 A2 19990518 JP 1998-249314 19980903 6046205 A 20000404 US 1999-299314 19990426 1019738 A1 20021101 HK 1999-104871 19991028 604PPLN. INFO.: |

OTHER SOURCE(S):

MARPAT 130:237561

$$N = N(CH_2)_n$$

$$X$$

$$X$$

The title compds. I [n = 0, 1; A = bond, alkylene, alkenylene; X = N, CR2]AB where R2 = H, alkyl; R1 = H, alkyl; G1 = pyrrolidinyl, piperidyl optionally substituted] were prepd. E.g., 1-{3-[4-(5-methoxypyrimidin-1yl)piperazin-1-yl]propyl}-6-([1,2,4]triazol-4-yl)indole dihydrochloride was prepd. Effect of I on contraction of saphenous vein of dogs or rabbits was detd.

221249-30-5P тт

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of indole and indazole derivs. and their effect on saphenous vein contraction)

221249-30-5 CAPLUS RN

1H-Indazole, 1-[3-[4-(5-methoxy-4-pyrimidinyl)-1-piperazinyl]propyl]-6-(4H-CN 1,2,4-triazol-4-yl) - (9CI) (CA INDEX NAME)

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 5 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 10 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

1999:148062 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 130:276243

Synthesis of 3-aryl-1-[(4-phenyl-1-TITLE:

piperazinyl)butyl]indazole derivatives and their

affinity to 5-HTla serotonin and dopamine D1 receptors Andronati, S.; Sava, Vassil; Makan, S.; Kolodeev, G.

AUTHOR (S): CORPORATE SOURCE:

Bogatsky Physico-Chemical Institute, Nat. Acad. Sci.

Ukraine, Odessa, 270086, Ukraine SOURCE:

Pharmazie (1999), 54(2), 99-101 CODEN: PHARAT; ISSN: 0031-7144

PUBLISHER: Govi-Verlag Pharmazeutischer Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English Eight 3-arylindazole derivs. were synthesized and their affinity to 5-HT1A AB serotonin and D1 dopamine receptors was investigated by radioligand anal. Quant. structure-activity relationships were studied using the Free-Wilson model. An increase in affinity to dopamine D1 receptors within substituents Br>C1>CH3 at the 5-position of the 3-arylindazole mol. was obsd. Addn. of a Cl2 atom to the ortho-position the of Ph ring let to even higher activity. Replacement of the H2 atom at the 1st position of the 3-arylindazole on the (phenylpiperazine) butyl substituent caused an increase of affinity and did not change the trends of affinity dependence on structure. An inverse dependence on the structure of the studied compds. was obsd. for the serotonin 5-HT1A receptors. Compds. contg. a Me group at the 5-position of mol. were more active than compds. contg. halogens. A Cl2 atom at the ortho-position of the Ph ring decreased affinity. Replacement of the H2 atom at the 1st position of the mol. on the (phenylpiperazine) butyl substituent led to an increase in affinity. Selectivity of the studied compds. varied within a wide range. Generally, the presence of the 3-arylindazole fragment in the new buspirone analogs increased their affinity to dopamine receptors and reduced their affinity to serotonin receptors. Compds. contg. a Br2 atom in the 3-arylindazole moiety may be promising ligands for D1 receptors. IT

163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P

● HCl

RN 163434-06-8 CAPLUS
CN 1H-Indazole, 5-bromo-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-,
monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 163434-07-9 CAPLUS
CN 1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

RN

163434-08-0 CAPLUS
1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-,
monohydrochloride (9CI) (CA INDEX NAME) CN

● HCl

REFERENCE COUNT:

THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS 17 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 11 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER:

1996:701302 CAPLUS

DOCUMENT NUMBER:

126:47180

TITLE:

Structure-activity relationship studies of CNS agents. Part 31. Analogs of MP 3022 with a different number of nitrogen atoms in the heteroaromatic fragment. New

5-HT1A receptor ligands

AUTHOR (S):

Paluchowska, Maria H.; Deren-Wesolek, Anna; Mokrosz, Jerzy L.; Charakchieva-Minol, Sijka; Chojnacka-Wojcik,

CORPORATE SOURCE: Institute Pharmacology, Polish Academy Sciences,

Krakow, 31-343, Pol.

SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1996),

329(10), 451-456

CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: VCH

DOCUMENT TYPE: Journal LANGUAGE: English

GΙ

$$N - (CH_2)_3N$$
N

II

AB Two series of MP 3022 analogs , i.e. 1-(3-methoxyphenyl)-4-propylpiperazines and 2-propyl-1,2,3,4-tetrahydroisoquinolines contg. a terminal heteroarom. system with a different no. of N atoms, were synthesized and their 5-HT1A/5-HT2A and .alpha.1 receptor affinity was assayed. The majority of investigated piperazines is classified as non-selective 5-HT1A/5-HT2A/.alpha.1 receptor ligands. Six compds. with highest affinity for 5-HT1A receptors (Ki = 4-54 nM) were tested in vivo. Their functional activity was differentiated. While I (X, Y, Z = CH), I (X = N, Y, Z = CH), and I (X, Z = N, Y = CH) behaved like weak antagonists of postsynaptic 5-HT1A receptors, I (X, Z = CH, Y = N) and I (X = CH, Y = CMe, Z = N) are classified as potential partial 5-HT1A receptor agonists. Compd. II has characteristic features of a potential weak postsynaptic 5-HT1A receptor agonist.

IT 184535-35-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and 5-HT1A, 5HT2A, and .alpha.1-adrenergic receptor binding of MP 3022 analogs)

RN 184535-35-1 CAPLUS

CN 1H-Indazole, 1-[3-[4-(2-methoxyphenyl)-1-piperazinyl]propyl]- (9CI) (CAINDEX NAME)

L10 ANSWER 12 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

1995:490642 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 122:314528

TITLE: Synthesis of 1-[4-(4-phenyl-1-piperazinyl)butyl]-1,2-

dihydro-3H-1,4-benzodiazepin-2-ones and -1H-indazoles

and their affinity for benzodiazepine receptors

Andronati, S. A.; Kolodeyev, G. Ye.; Makan, S. Yu.;

Sava, V. M.; Yavorsky, A. S.

Fiz.-Khim. Inst. im. A.V. Bogatskogo, Odessa, Ukraine CORPORATE SOURCE:

Dopovidi Akademii Nauk Ukraini (1994), (8), 126-31 SOURCE:

CODEN: DNUKEM

PUBLISHER:

DOCUMENT TYPE:

LANGUAGE:

AUTHOR (S):

Naukova Dumka Journal

Russian

GI

AB Title compds. I (R = H, Cl) and II (R1 = Cl, Br, Me, R2 = H; R1 = Br, R2 = H)Cl) were prepd. by reaction of spiro compd. III with 1-unsubstituted benzodiazepinones and indazoles. The effect of the (phenylpiperazinyl)butyl group on the affinity to benzodiazepine receptors was examd.

IT 163434-05-7P 163434-06-8P 163434-07-9P 163434-08-0P

> RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

Ι

(effect of (phenylpiperazinyl)butyl group on benzodiazepine receptor affinity of benzodiazepinones and indazoles)

RN 163434-05-7 CAPLUS

CN 1H-Indazole, 5-chloro-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

● HCl

RN 163434-07-9 CAPLUS CN 1H-Indazole, 5-bromo-3-(2-chlorophenyl)-1-[4-(4-phenyl-1-piperazinyl)butyl]-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN163434-08-0 CAPLUS

1H-Indazole, 5-methyl-3-phenyl-1-[4-(4-phenyl-1-piperazinyl)butyl]-, CNmonohydrochloride (9CI) (CA INDEX NAME)

HCl

L10 ANSWER 13 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1977:453281 CAPLUS

DOCUMENT NUMBER: 87:53281

TITLE: Indazole derivatives

INVENTOR(S): Fujimura, Yasuo; Nagano, Hiroyuki; Shindo, Minoru; Kakimoto, Morio; Iwasaki, Tsuneo; Ikeda, Yugo

PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------------|------|----------|-----------------|----------|
| | | | | |
| JP 52014765 | A2 | 19770203 | JP 1975-90172 | 19750725 |
| JP 59036627 | B4 | 19840905 | | |
| PRIORITY APPLN. INFO. | : | | JP 1975-90172 | 19750725 |
| GI | | | | |

$$R^1$$
 N
 N
 $I, R=NR^2R^3$
 $(CH_2)_{nR}$
 $II, R=X$

AB Twenty indazole derivs. I (R1 = H, Me, Cl, Br; R2, R3 = H, Me, Et, H2C:CHCH2, PhCH2; R2R3N may form a morpholino, piperidino, or 4-substituted piperazino group; n = 2, 3) were prepd. by reaction of II (X = halo) with R2R3NH. I had central nervous system depressant, antidepressant, and antiinflammatory activities (no data). Thus, refluxing 3.4 g II (R1 = Cl, X = Br, n = 2) (prepd. by reaction of 3-phenyl-5-chloroindazole with 1,2-dibromoethane in DMF contg. NaH) with 1.83 g morpholine 10 h gave 2.8 g I (R1 = Cl, R2R3N = morpholino, n = 2), which was converted into its hydrochloride.

IT 63380-46-1P

RN 63380-46-1 CAPLUS

CN 1H-Indazole, 5-methyl-3-phenyl-1-[3-(4-phenyl-1-piperazinyl)propyl]-, monohydrochloride (9CI) (CA INDEX NAME)

HCl

L10 ANSWER 14 OF 14 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1976:31053 CAPLUS

DOCUMENT NUMBER: 84:31053

TITLE: Indazole derivatives

INVENTOR(S): Fujimura, Yasuo; Nagano, Hiroyuki; Shindo, Minoru;

Kakimoto, Morio; Iwasaki, Tsuneo; Ikeda, Yugo

Chugai Pharmaceutical Co., Ltd., Japan

PATENT ASSIGNEE(S): SOURCE:

Ger. Offen., 27 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------------|----------|----------------------|----------------------------------|----------------------|
| DE 2503815 DE 2503815 | A1 C2 | 19750807 19860522 | DE 1975-2503815 | 19750130 |
| JP 50106958 JP 56037984 | A2 B4 | 19750822 19810903 | JP 1974-12184 | 19740131 |
| JP 50148355 | A2 | 19751127 | JP 1974-55000 | 19740518 |
| JP 59022708 JP 50154244 | B4 A2 | 19840528 19751212 | JP 1974-61853 | 19740603 |
| JP 56052904 JP 51056446 | B4 A2 | 19811215 19760518 | JP 1974-129521 | 19741112 |
| JP 60003063 JP 51063172 | B4 A2 | 19850125 19760601 | JP 1974-135184 | 19741126 |
| JP 59044313 GB 1489280 | B4 A | 19841029 19771019 | GB 1975-2247 | 19750117 |
| FR 2259601 FR 2259601 | A1 B1 | 19750829 19800111 | FR 1975-2955 | 19750130 |
| PRIORITY APPLN. INFO. | | 13000111 | JP 1974-12184 | 19740131 |
| | | | JP 1974-55000 JP 1974-61853 | 19740518 19740603 |
| | | | JP 1974-129521 JP 1974-135184 | 19741112 19741126 |

GI For diagram(s), see printed CA Issue.

Indazoles I (R = R1 = H, Me, Et; R = H, R1 = Me, Bu, allyl; NRR1 = AB piperidino, morpholino, N-methylpiperazino, N-phenylpiperazino, 2-(4-chlorophenyl-4-methyl-1,2,3,6-tetrahydropyridino, pyrrolidino; R2 = H, Cl, Me, Br, F; n = 1-3) were prepd. by treating indazoles with Cl(CH2) nNRR1, by Mannich reaction of indazoles, or by redn. of carbamoylalkylindazoles. Thus, 3-phenylindazole was treated with Me2NCH2CH2Cl.HCl to give I (R = R1 = Me, R2 = H, n = 2), which at 100 mg/kg orally in mice had a barbiturate potentiation value of 3.0, compared with imipramine 1.3. I were also antidepressant.

IT 57614-55-8P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 57614-55-8 CAPLUS

1H-Indazole, 5-methyl-3-phenyl-1-[3-(4-phenyl-1-piperazinyl)propyl]-, hydrochloride (9CI) (CA INDEX NAME)